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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT 02	CA/CAPLUS enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT 19	BEILSTEIN updated with new compounds
NEWS	4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV 19	WPIX enhanced with XML display format
NEWS	6	NOV 30	ICSD reloaded with enhancements
NEWS	7	DEC 04	LINPADOCDB now available on STN
NEWS	8	DEC 14	BEILSTEIN pricing structure to change
NEWS	9	DEC 17	USPATOLD added to additional database clusters
NEWS	10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC 17	DGENE now includes more than 10 million sequences
NEWS	12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS	14	DEC 17	CA/CAPLUS enhanced with new custom IPC display formats
NEWS	15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN 02	STN pricing information for 2008 now available
NEWS	17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN 28	MARPAT searching enhanced
NEWS	20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB 08	STN Express, Version 8.3, now available
NEWS	24	FEB 20	PCI now available as a replacement to DPCI
NEWS	25	FEB 25	IFIREF reloaded with enhancements
NEWS	26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:24:07 ON 19 MAR 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:24:25 ON 19 MAR 2008

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STRUCTURE FILE UPDATES: 18 MAR 2008 HIGHEST RN 1008796-87-9

DICTIONARY FILE UPDATES: 18 MAR 2008 HIGHEST RN 1008796-87-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

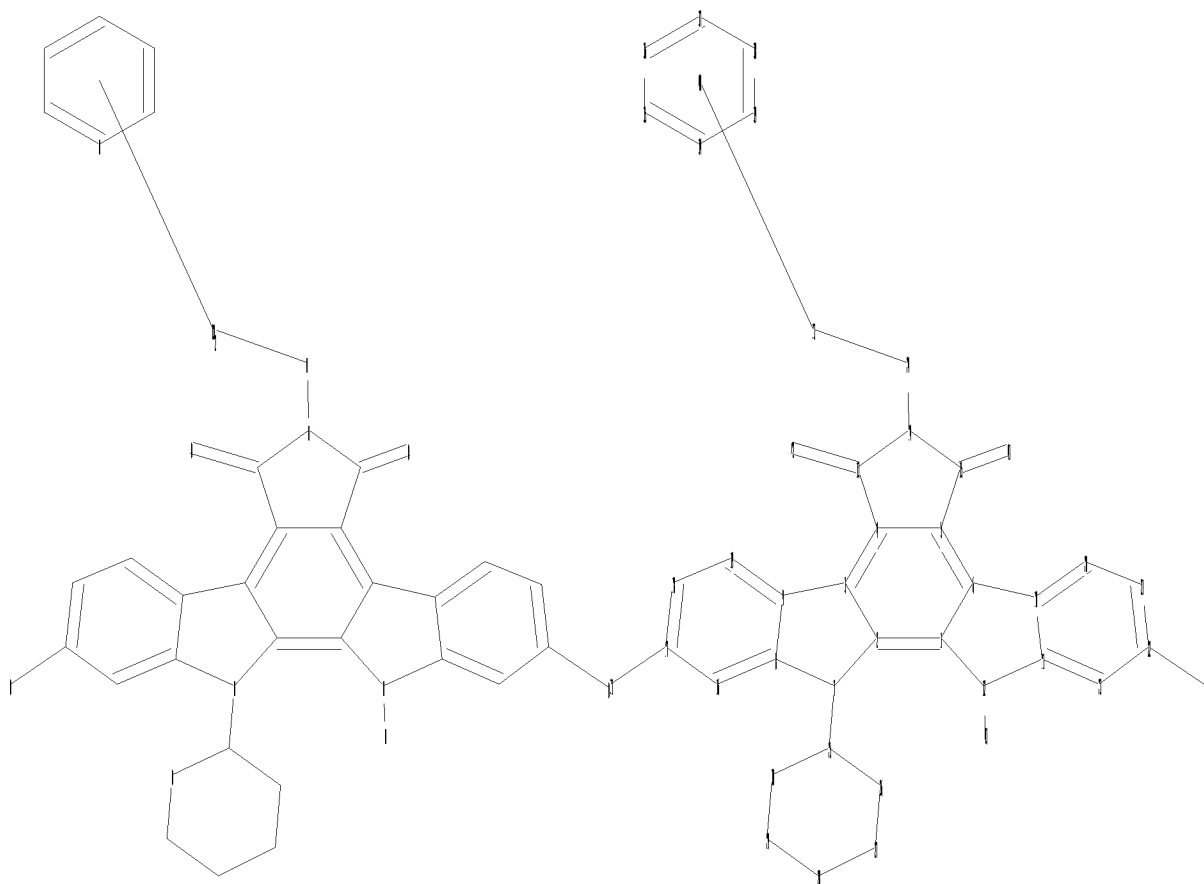
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10565327\structure 1.str



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chain nodes :
24 31 32 33 41 42 43
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
25 26 27 28 29 30 34 35 36 37 38 39
chain bonds :
7-25 12-43 13-42 14-24 15-41 17-31 22-32 24-33
ring bonds :
1-2 1-6 1-12 2-3 2-7 3-4 3-9 4-5 4-13 5-6 5-15 6-10 7-8 8-9 8-16
9-19 10-11 10-20 11-12 11-23 13-14 14-15 16-17 17-18 18-19 20-21 21-22
22-23 25-26 25-30 26-27 27-28 28-29 29-30 34-35 34-39 35-36 36-37 37-38
38-39
exact/norm bonds :
1-12 2-7 3-9 4-13 5-15 6-10 7-8 7-25 11-12 13-14 13-42 14-15 14-24
15-41 17-31 22-32 25-26 25-30 26-27 27-28 28-29 29-30
exact bonds :
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normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-16 9-19 10-11 10-20 11-23 16-17 17-18
18-19 20-21 21-22 22-23 34-35 34-39 35-36 36-37 37-38 38-39

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:CLASS 32:CLASS 33:CLASS 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:CLASS 42:CLASS 43:CLASS

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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:24:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 11 TO 389

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

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FULL SCREEN SEARCH COMPLETED - 263 TO ITERATE

100.0% PROCESSED 263 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.01

L3 34 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 10:24:49 ON 19 MAR 2008

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FILE COVERS 1907 - 19 Mar 2008 VOL 148 ISS 12

FILE LAST UPDATED: 18 Mar 2008 (20080318/ED)

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=> s l3

L4 5 L3

=> d l4 1-5 ibib abs hitstr

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:260083 CAPLUS

DOCUMENT NUMBER: 142:336585

TITLE: Preparation of N-glycosylindolopyrrolocarbazole
derivative with antitumor activity

INVENTOR(S): Yamada, Koji; Sunami, Satoshi; Hirose, Masaaki;
Ohkubo, Mitsuru; Arakawa, Hiroharu

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005026185	A1	20050324	WO 2004-JP14661	20040914
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272457	A1	20050324	AU 2004-272457	20040914
CA 2538434	A1	20050324	CA 2004-2538434	20040914
EP 1666485	A1	20060607	EP 2004-773605	20040914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1852914	A	20061025	CN 2004-80026590	20040914
US 2007042975	A1	20070222	US 2006-571861	20060314
PRIORITY APPLN. INFO.:			JP 2003-322550	A 20030916
			WO 2004-JP14661	W 20040914
OTHER SOURCE(S):	MARPAT 142:336585			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel indolopyrrolocarbazole derivs. represented by the general formula
(I) [wherein A = O, NH, CH₂; R₁ = a single bond, lower alkyl, lower

alkenyl, lower alkynyl, Y1-W (wherein Y1 = each (un)substituted lower alkyl, lower alkenyl, or 1,3-dioxanyl; W = a single bond, O); R2 = each (un)substituted Ph, naphthyl, or an aromatic or aliphatic heterocycle which is

a 5- or 6-membered ring containing at least one of nitrogen, sulfur, and oxygen; G = a pentose group or hexose group] or pharmaceutically acceptable salts thereof are prepared. Thus, 97.1 mg compound (II), 54.3 mg O-(3-tert-butyldimethylsilyloxymethyl-4-pyridylmethyl)hydroxylamine, and 30 μ L Et3N were dissolved in 4 mL MeOH, refluxed for 3 days, and concentrated under reduced pressure. The residue was dissolved in mixed solvent of 4 mL THF and 3 mL MeOH, treated with 1 mL 1 M Bu4NF/THF, stirred at room temperature for 1 h, treated with 1 mL 1 M Bu4NF/THF, stirred at room temperature for 30 min and then refluxed for 30 min, and concentrated under reduced pressure, followed by purification using a Sephadex LH-20 column to give 11 mg compound (III). III showed IC50 of 0.00076 μ M against human colon cancer cell HCT-116.

IT 848396-89-4P 848396-90-7P 848396-91-8P
848396-92-9P 848396-94-1P 848396-96-3P
848396-99-6P

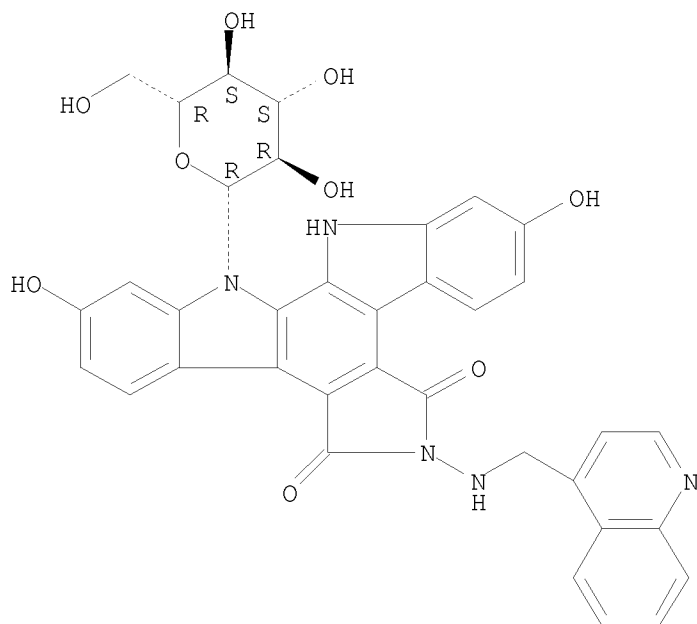
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-glycosylindolopyrrolocarbazole derivative as antitumor agents)

RN 848396-89-4 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-quinolinylmethyl)amino]- (CA INDEX NAME)

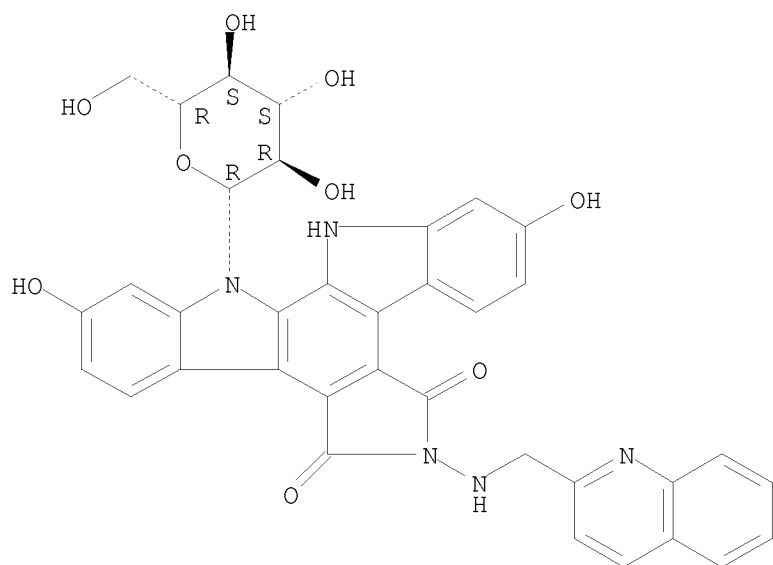
Absolute stereochemistry.



RN 848396-90-7 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(2-quinolinylmethyl)amino]- (CA INDEX NAME)

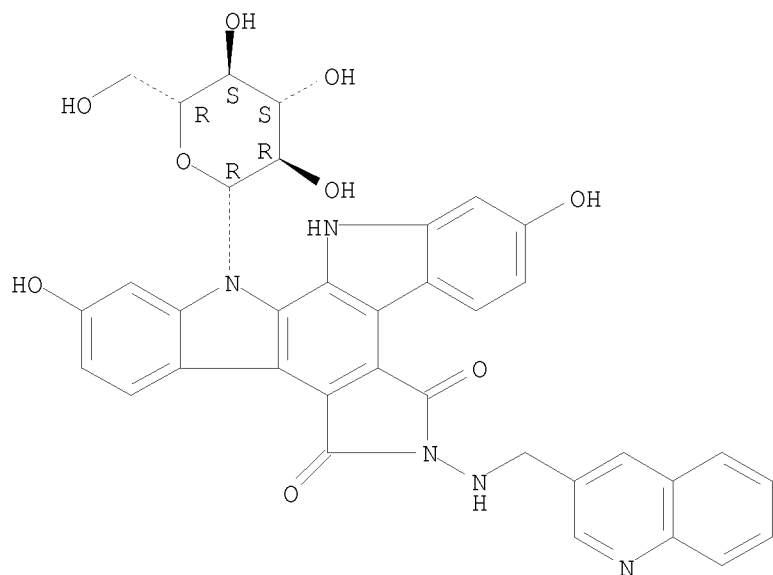
Absolute stereochemistry.



RN 848396-91-8 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(3-
quinolinylmethyl)amino]- (CA INDEX NAME)

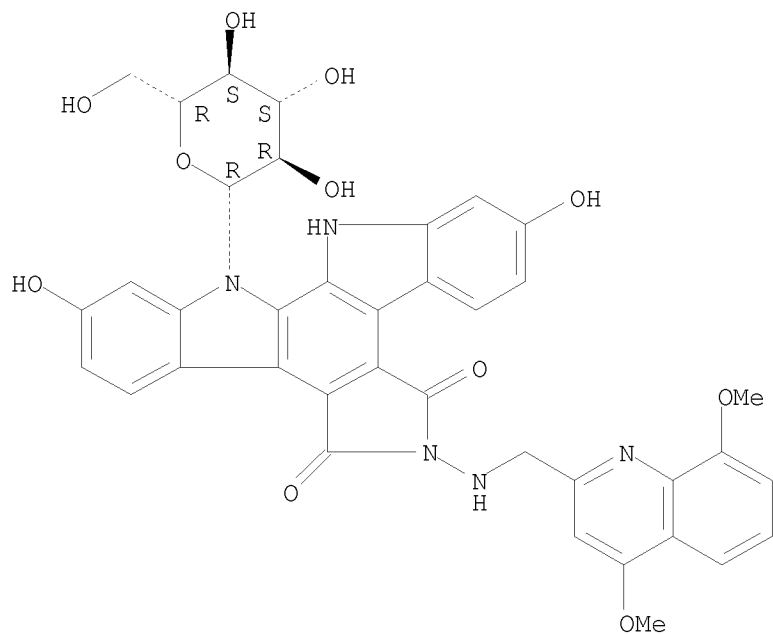
Absolute stereochemistry.



RN 848396-92-9 CAPLUS

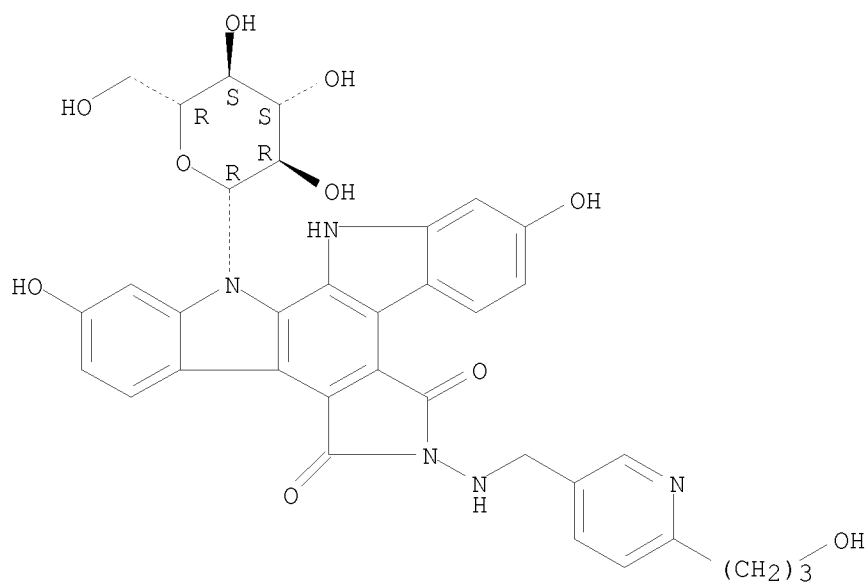
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
6-[[[4,8-dimethoxy-2-quinolinyl)methyl]amino]-12-β-D-glucopyranosyl-
12,13-dihydro-2,10-dihydroxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 848396-94-1 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(3-
 hydroxypropyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

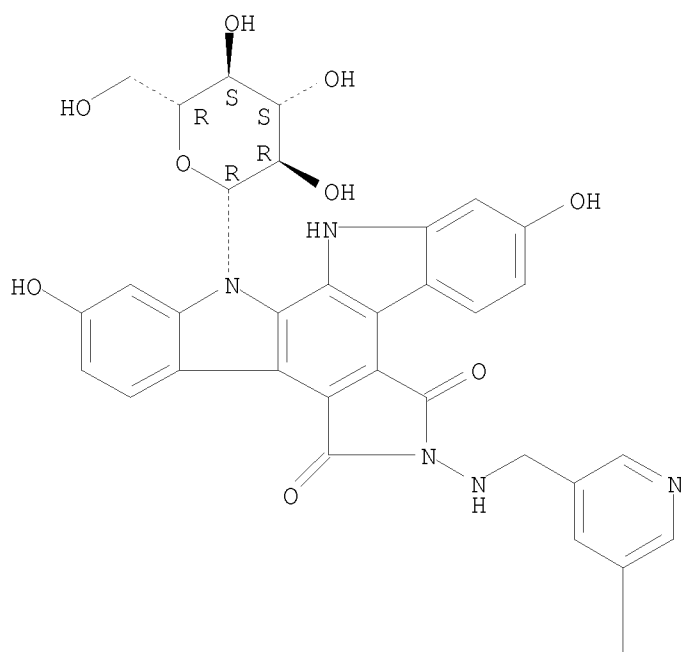
Absolute stereochemistry.



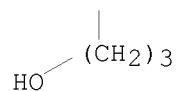
RN 848396-96-3 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[5-(3-
 hydroxypropyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

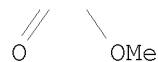
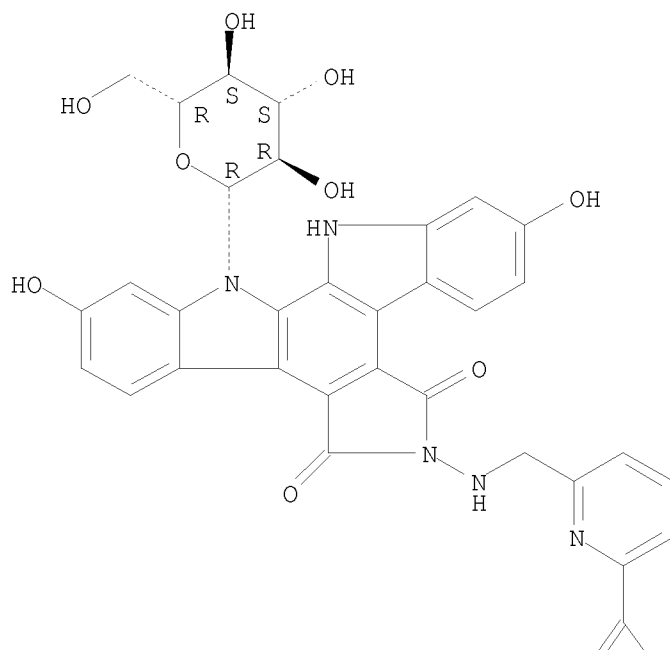


PAGE 2-A



RN 848396-99-6 CAPLUS
CN 2-Pyridinecarboxylic acid, 6-[[[12-β-D-glucopyranosyl-5,7,12,13-tetrahydro-2,10-dihydroxy-5,7-dioxo-6H-indolo[2,3-a]pyrrolo[3,4-c]carbazol-6-yl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:99516 CAPLUS

DOCUMENT NUMBER: 142:183322

TITLE: Preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents

INVENTOR(S): Imamura, Hideaki; Sunami, Satoshi; Hirano, Atsushi; Ohkubo, Mitsuru; Akao, Atsushi

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

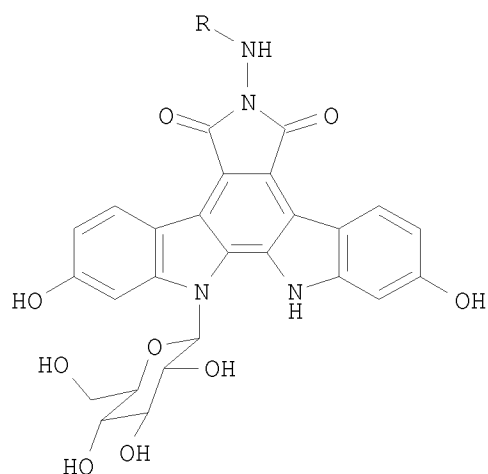
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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AU 2004259288 A1 20050203 AU 2004-259288 20040721
CA 2533375 A1 20050203 CA 2004-2533375 20040721
WO 2005010019 A1 20050203 WO 2004-JP10741 20040721
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SN, TD, TG
EP 1652853 A1 20060503 EP 2004-748012 20040721
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CN 1826348 A 20060830 CN 2004-80021269 20040721
US 2006229264 A1 20061012 US 2006-565327 20060120
IN 2006DN00841 A 20070810 IN 2006-DN841 20060220
PRIORITY APPLN. INFO.: WO 2003-JP309393 A 20030724
WO 2003-JP9393 A 20030724
WO 2004-JP10741 W 20040721
OTHER SOURCE(S): MARPAT 142:183322
GI



I

AB Claimed are the title compds. I [R is pyridylmethyl which may be substituted with hydroxymethyl], pharmaceutically acceptable salts thereof, or solvates thereof. Crystalline compds. of this invention showed high thermal stability, high photostability, and high solubility in water.
IT 213039-86-2
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);

USES (Uses)

(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer

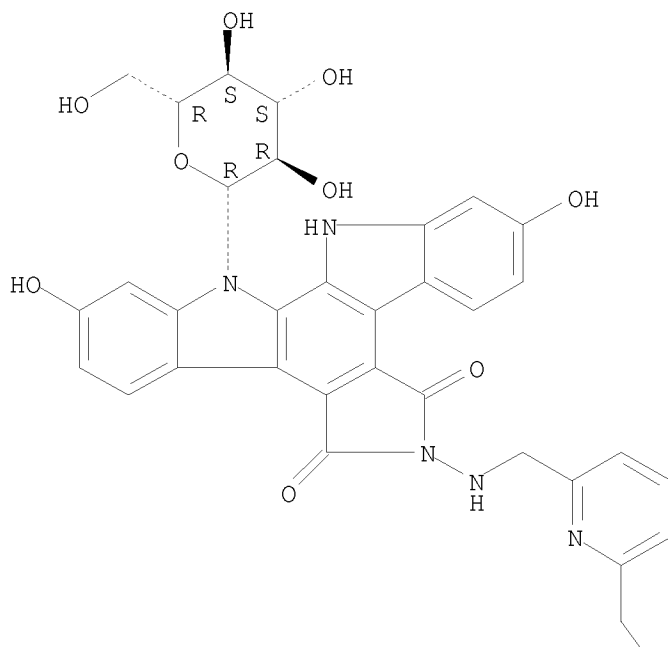
agents)

RN 213039-86-2 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



IT 668486-47-3P

RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

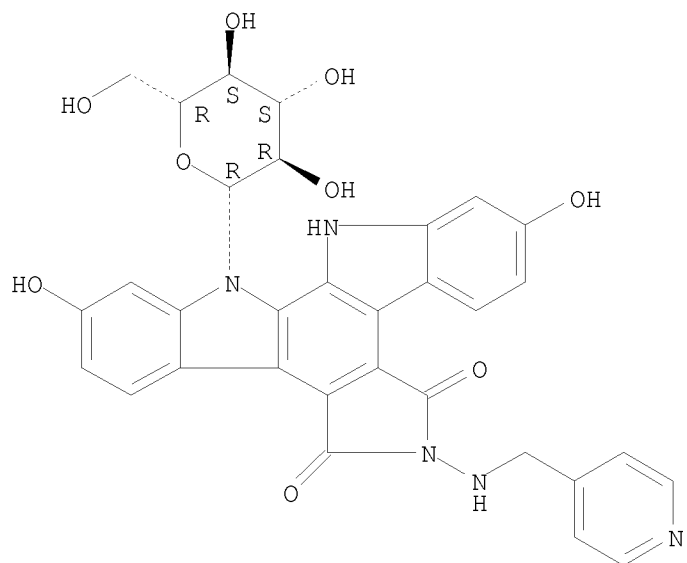
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer

agents)

RN 668486-47-3 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[4-pyridinylmethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 213039-73-7P 835621-38-0P 835621-44-8P
835621-48-2P

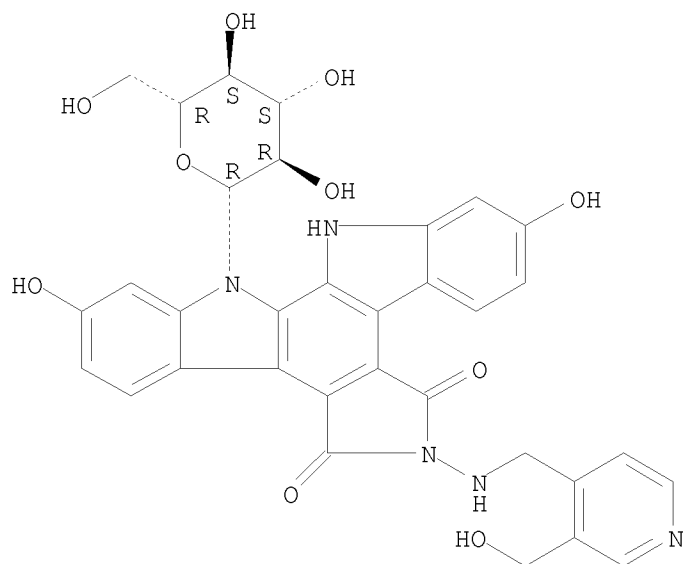
RL: PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents)

RN 213039-73-7 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
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Absolute stereochemistry.

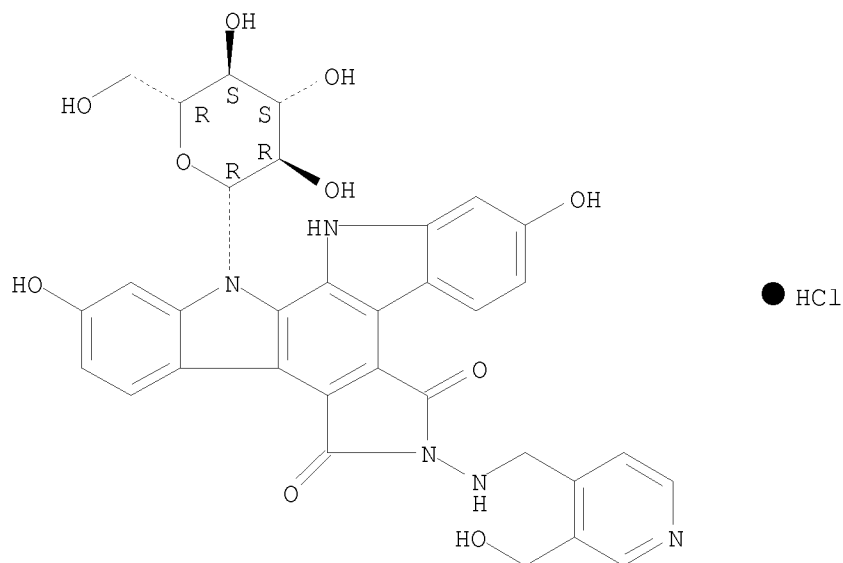


RN 835621-38-0 CAPLUS

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 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-

(hydroxymethyl)-4-pyridinyl]methyl]amino]-, monohydrochloride (9CI) (CA
INDEX NAME)

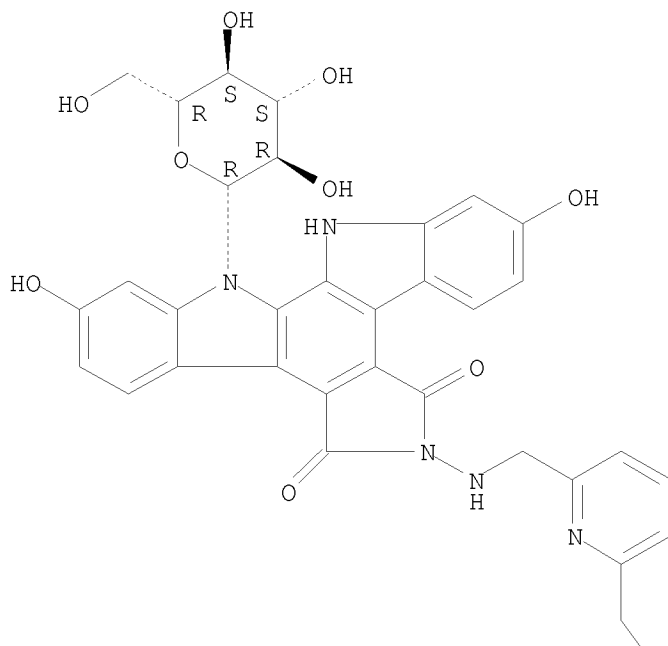
Absolute stereochemistry.



RN 835621-44-8 CAPLUS
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12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(
hydroxymethyl)-2-pyridinyl]methyl]amino]-, monohydrochloride (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

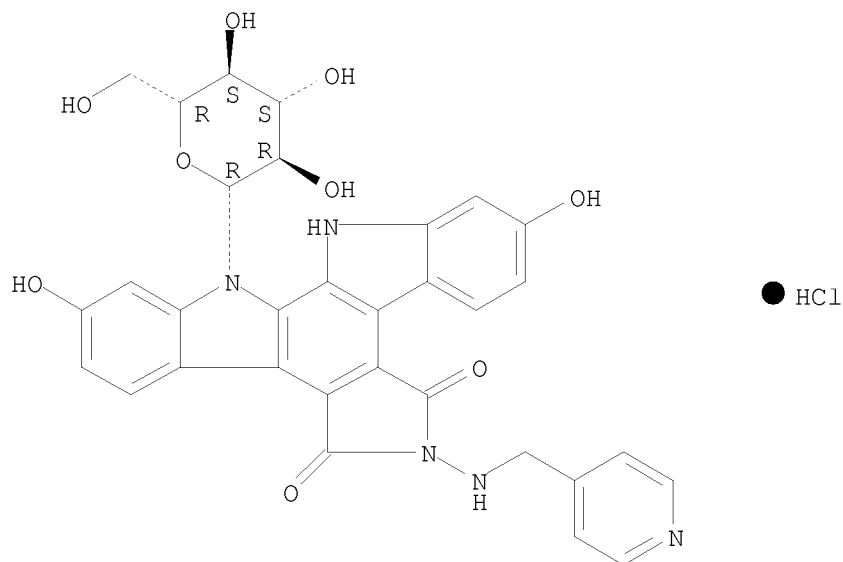




● HCl

RN 835621-48-2 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-
 pyridinylmethyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

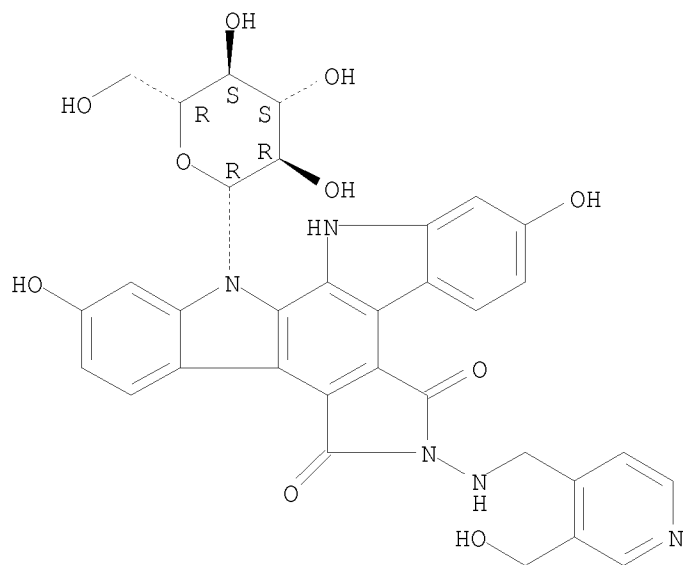
IT 835621-53-9
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as
 anticancer
 agents)

RN 835621-53-9 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-
 (hydroxymethyl)-4-pyridinyl]methyl]amino]-, monomethanesulfonate (salt),
 compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
 CMF C33 H29 N5 O10

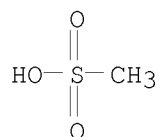
Absolute stereochemistry.



CM 2

CRN 75-75-2

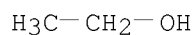
CMF C H4 O3 S



CM 3

CRN 64-17-5

CMF C2 H6 O



IT 835621-39-1P 835621-40-4P

RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); USES (Uses)

(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents)

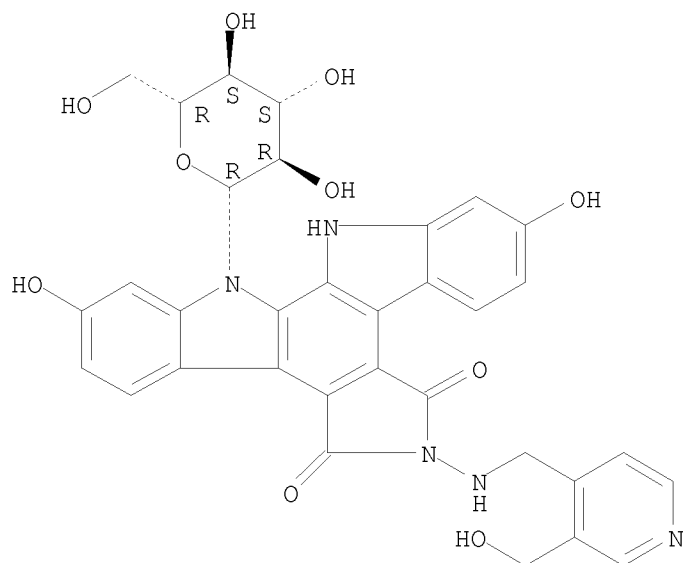
RN 835621-39-1 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(
(hydroxymethyl)-4-pyridinyl)methyl]amino]-, sulfate (2:1) (salt) (9CI)
(CA INDEX NAME)

CM 1

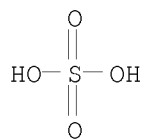
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CMF C33 H29 N5 O10

Absolute stereochemistry.



CM 2

CRN 7664-93-9
CMF H2 O4 S

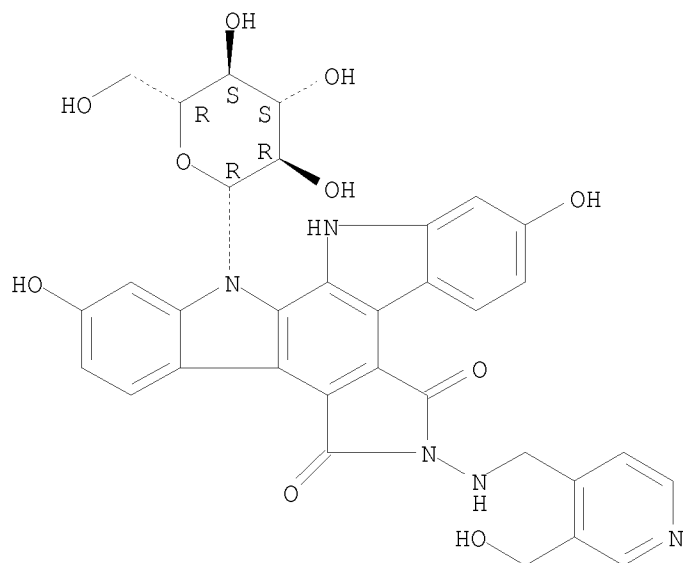


RN 835621-40-4 CAPLUS
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12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(
(hydroxymethyl)-4-pyridinyl]methyl]amino]-, monomethanesulfonate (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

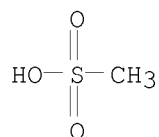
Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



IT 835621-41-5 835621-42-6 835621-43-7
835621-45-9 835621-46-0 835621-47-1
835621-49-3 835621-50-6 835621-51-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

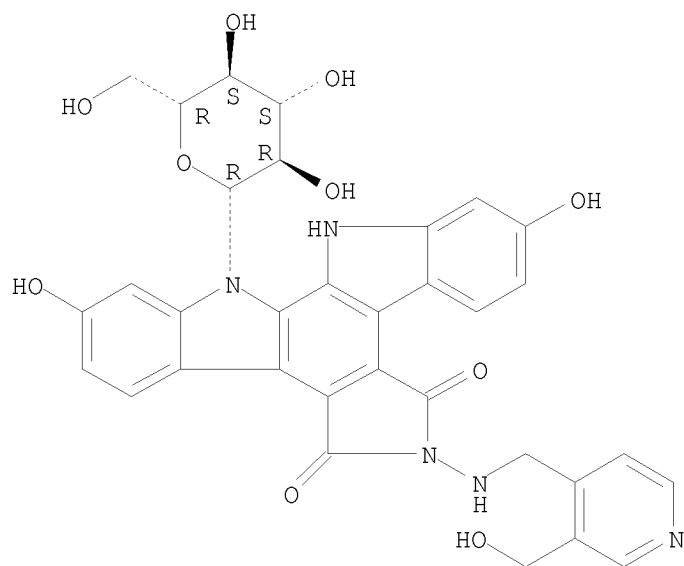
(preparation of crystalline 6-N-pyridylmethylaminoindolocarbazoles as anticancer agents)

RN 835621-41-5 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(
 (hydroxymethyl)-4-pyridinyl]methyl]amino]-, hydrochloride (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

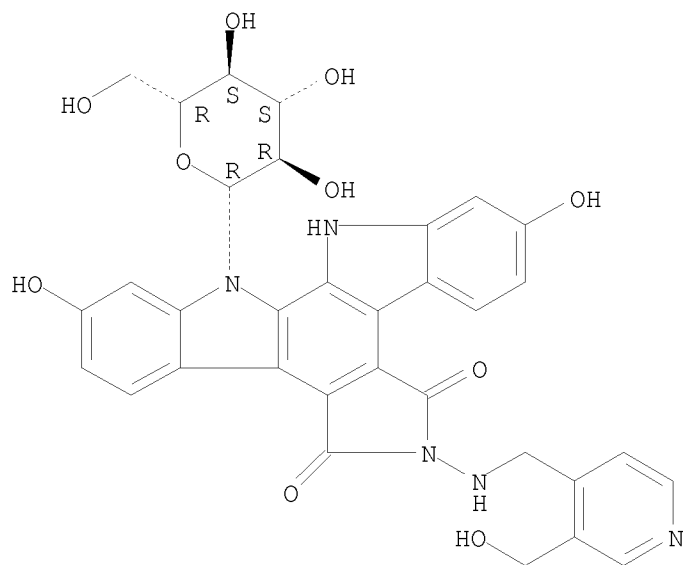
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RN 835621-42-6 CAPLUS
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12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(
(hydroxymethyl)-4-pyridinyl]methyl]amino]-, sulfate (salt) (9CI) (CA
INDEX NAME)

CM 1

CRN 213039-73-7
CMF C33 H29 N5 O10

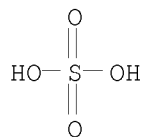
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 835621-43-7 CAPLUS

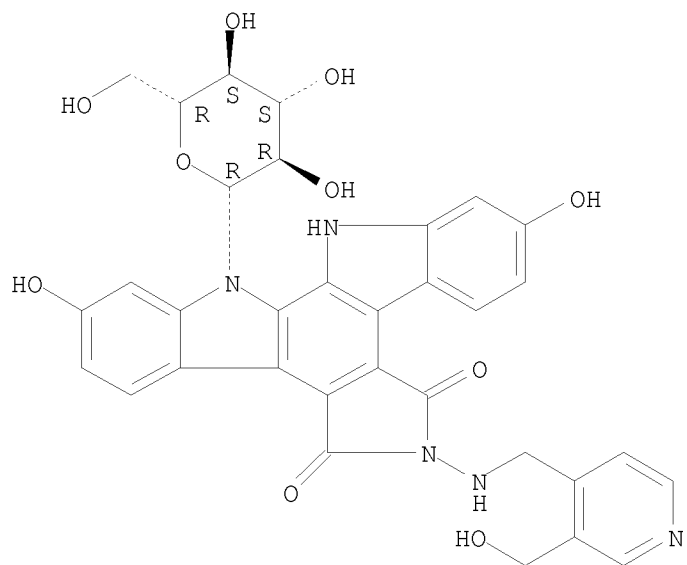
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]-, methanesulfonate (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 213039-73-7

CMF C33 H29 N5 O10

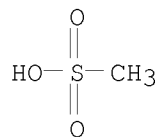
Absolute stereochemistry.



CM 2

CRN 75-75-2

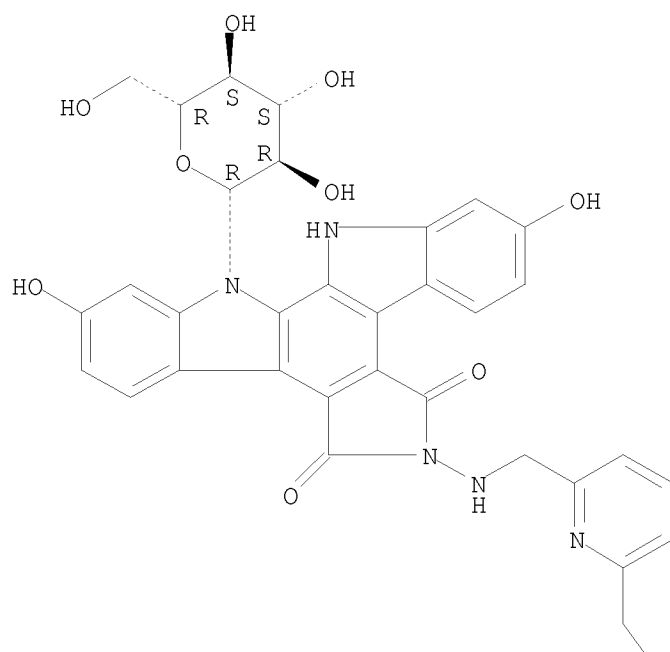
CMF C H4 O3 S



RN 835621-45-9 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(hydroxymethyl)-2-pyridinyl]methyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

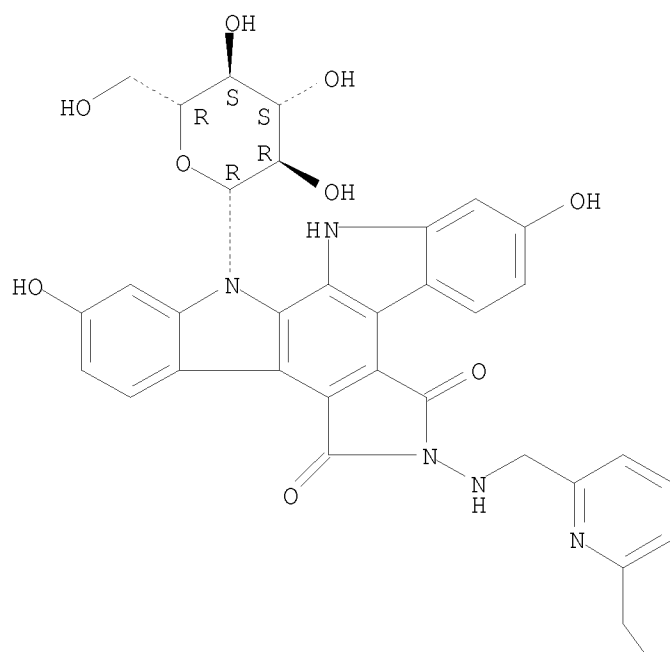
RN 835621-46-0 CAPLUS
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 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(
 (hydroxymethyl)-2-pyridinyl)methyl]amino]-, sulfate (salt) (9CI) (CA
 INDEX NAME)

CM 1

CRN 213039-86-2
 CMF C33 H29 N5 O10

Absolute stereochemistry.

PAGE 1-A



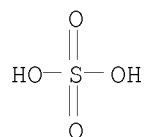
PAGE 2-A



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 835621-47-1 CAPLUS

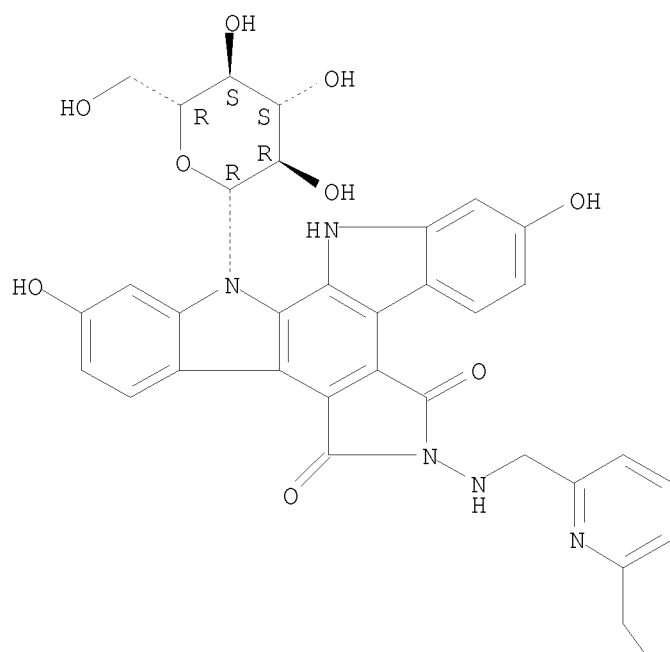
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-
(hydroxymethyl)-2-pyridinyl]methyl]amino]-, methanesulfonate (salt) (9CI)
(CA INDEX NAME)

CM 1

CRN 213039-86-2

CMF C33 H29 N5 O10

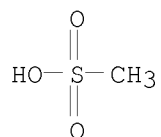
Absolute stereochemistry.



CM 2

CRN 75-75-2

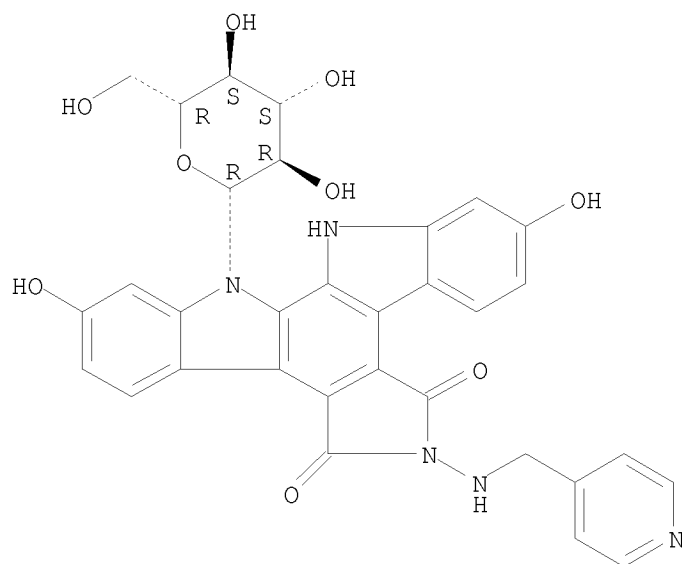
CMF C H4 O3 S



RN 835621-49-3 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-
pyridinylmethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



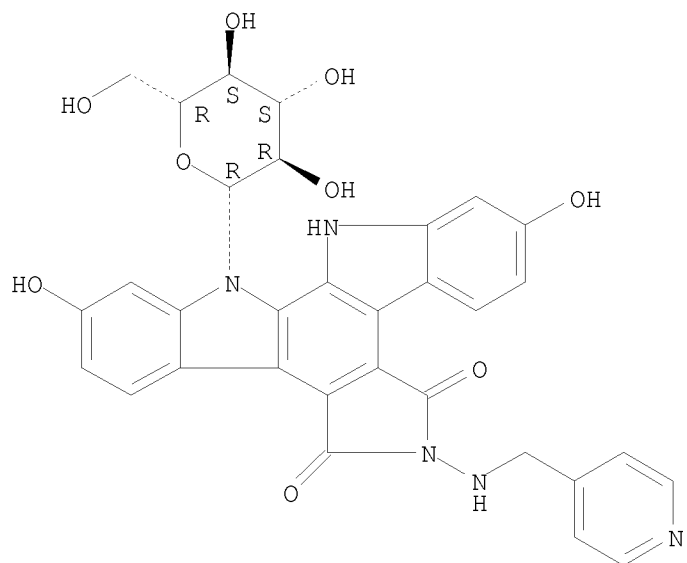
●x HCl

RN 835621-50-6 CAPLUS
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 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-
 pyridinylmethyl)amino]-, sulfate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 668486-47-3
 CMF C32 H27 N5 O9

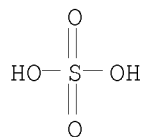
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



RN 835621-51-7 CAPLUS

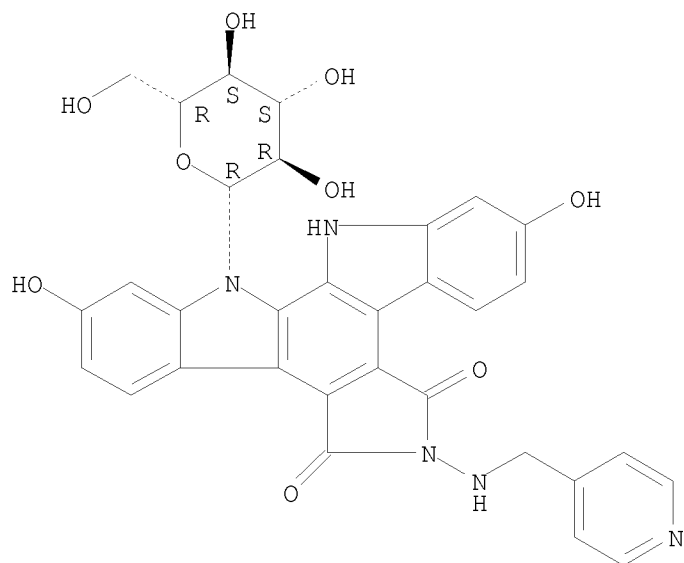
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-
pyridinylmethyl)amino]-, methanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 668486-47-3

CMF C32 H27 N5 O9

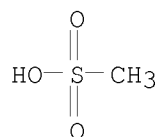
Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:99515 CAPLUS

DOCUMENT NUMBER: 142:177043

TITLE: Preparation of glucopyranosyl indolopyrrolo-carbazole derivatives as antitumor agents

INVENTOR(S): Ohkubo, Mitsuru; Arakawa, Hiroharu

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

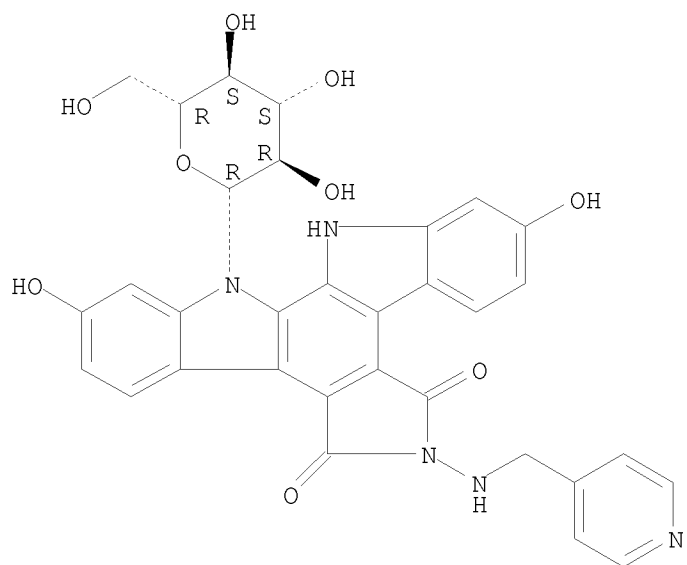
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PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003248103 A1 20050214 AU 2003-248103 20030724
AU 2004259289 A1 20050203 AU 2004-259289 20040721
CA 2533384 A1 20050203 CA 2004-2533384 20040721
WO 2005010020 A1 20050203 WO 2004-JP10742 20040721
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EP 1652854 A1 20060503 EP 2004-771003 20040721
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CN 1826347 A 20060830 CN 2004-80021118 20040721
US 2006189800 A1 20060824 US 2006-565326 20060120
IN 2006DN00809 A 20070817 IN 2006-DN809 20060217
PRIORITY APPLN. INFO.: JP 2003-9392 A 20030724
WO 2003-JP309392 A 20030724
WO 2003-JP9392 A 20030724
WO 2004-JP10742 W 20040721
OTHER SOURCE(S): CASREACT 142:177043; MARPAT 142:177043
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = unsubstituted pyridyl, furyl, thienyl; m = 1-3; G =
 β -D-glucopyranosyl; hydroxy substituents on the
indolopyrrolocarbazole ring are located in the 1- and 11-positions or the
2- and 10-positions] were prepared For instance, condensation of compound II
[X = NH₂] with 4-pyridinecarbaldehyde followed by hydrogenation afforded
compound II [X = NHCH₂(4-pyridyl)]. In cell growth inhibition assays
against MKN-45 cell, the IC₅₀ value of compound II [X = NHCH₂(4-pyridyl)]
was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.
IT 668486-47-3P 835625-77-9P 835625-78-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of indolopyrrolocarbazole derivs. having glucopyranosyl group
as antitumor agents)
RN 668486-47-3 CAPLUS
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-
pyridinylmethyl)amino]- (CA INDEX NAME)

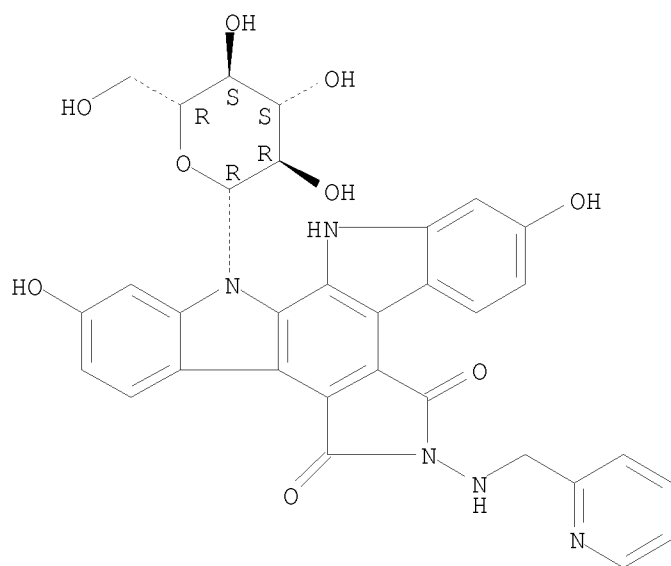
Absolute stereochemistry.



RN 835625-77-9 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(2-
pyridinylmethyl)amino]- (CA INDEX NAME)

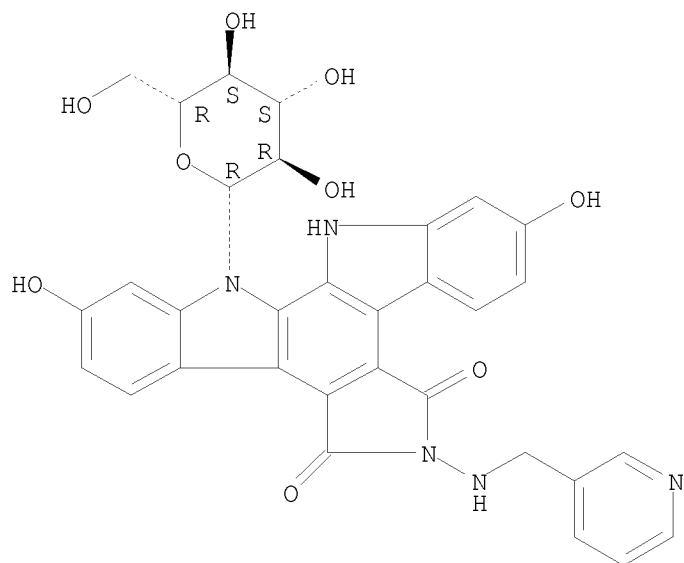
Absolute stereochemistry.



RN 835625-78-0 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(3-
pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:191117 CAPLUS

DOCUMENT NUMBER: 140:236007

TITLE: Preparation of indolopyrrolo-carbazole derivatives having glucopyranosyl group and antitumor agents containing them

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 17 pp.
CODEN: USXXAM

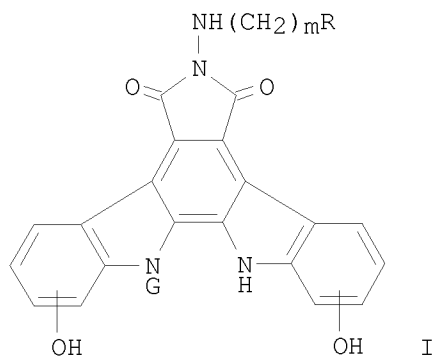
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 6703373	B1	20040309	US 2002-70825	20020311
WO 2004083228	A1	20040930	WO 1999-JP4911	19990910
W: US				
PRIORITY APPLN. INFO.:			WO 1999-JP4911	W 19990910
OTHER SOURCE(S):	MARPAT	140:236007		
GI				



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolo[3,4-c]carbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH₂)_mR = CH₂C₆H₃(OH)_{2-3,5}] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

IT 213039-72-6P 213039-73-7P 213039-75-9P
213039-76-0P 213039-77-1P 213039-81-7P
213039-82-8P 213039-84-0P 213039-86-2P
668486-47-3P

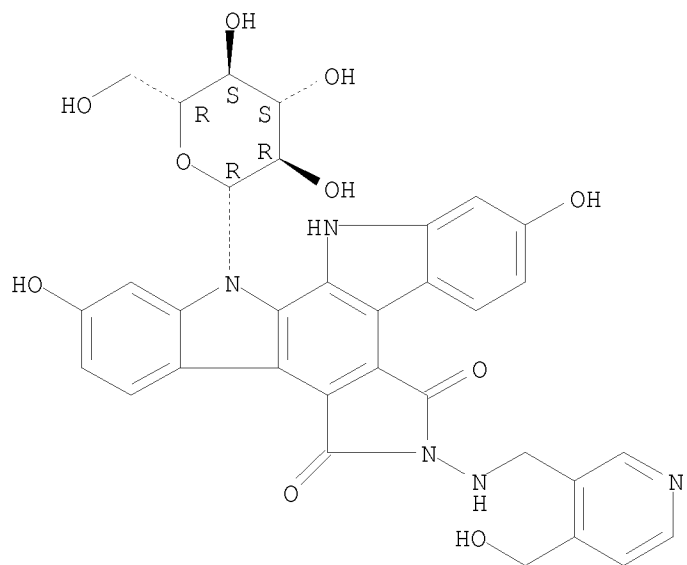
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of glucopyranosylindolopyrrolo[3,4-c]carbazole derivs. as antitumor agents)

RN 213039-72-6 CAPLUS

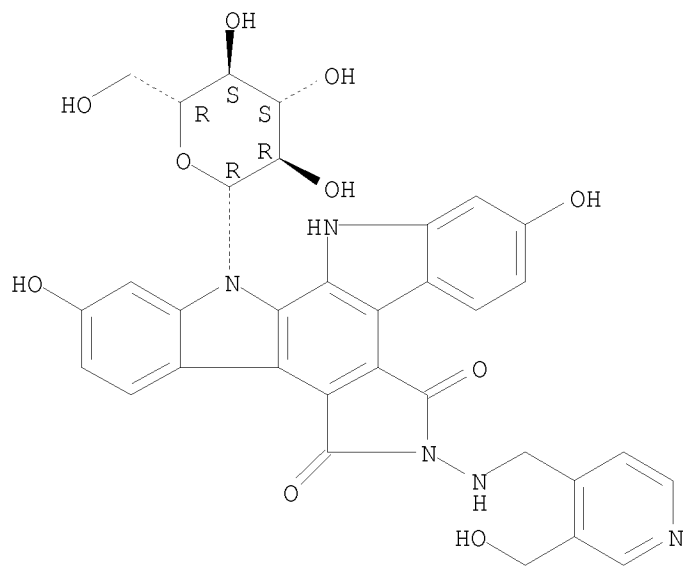
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[4-(hydroxymethyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



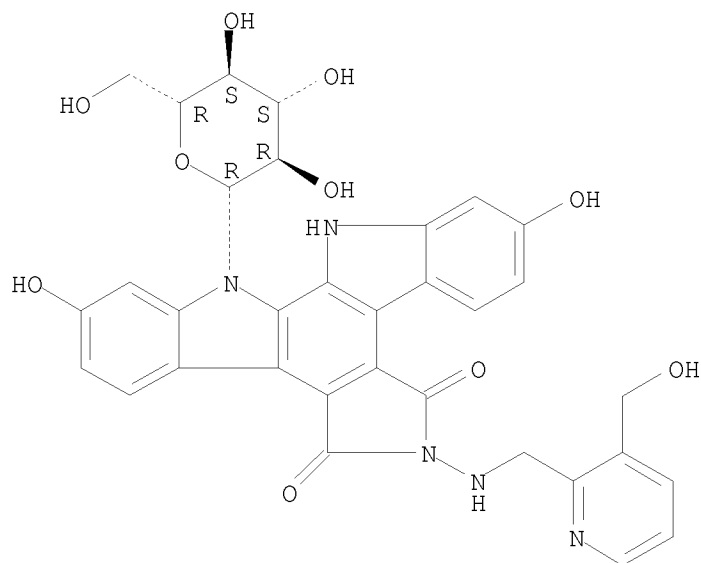
RN 213039-73-7 CAPLUS
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 (hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



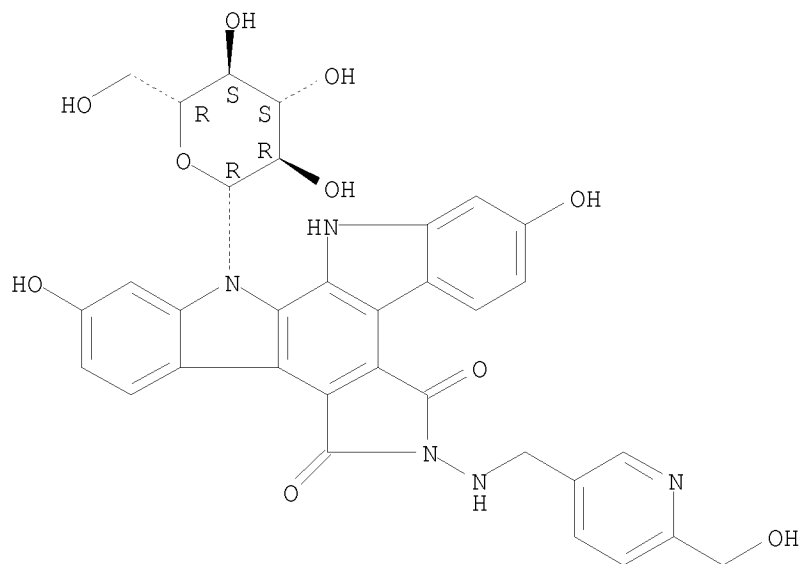
RN 213039-75-9 CAPLUS
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 (hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



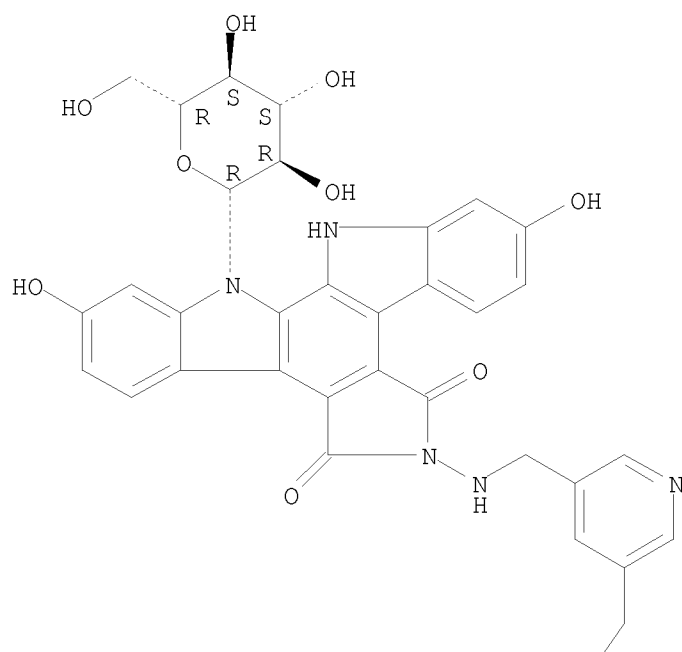
RN 213039-76-0 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(
 (hydroxymethyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 213039-77-1 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[5-(
 (hydroxymethyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

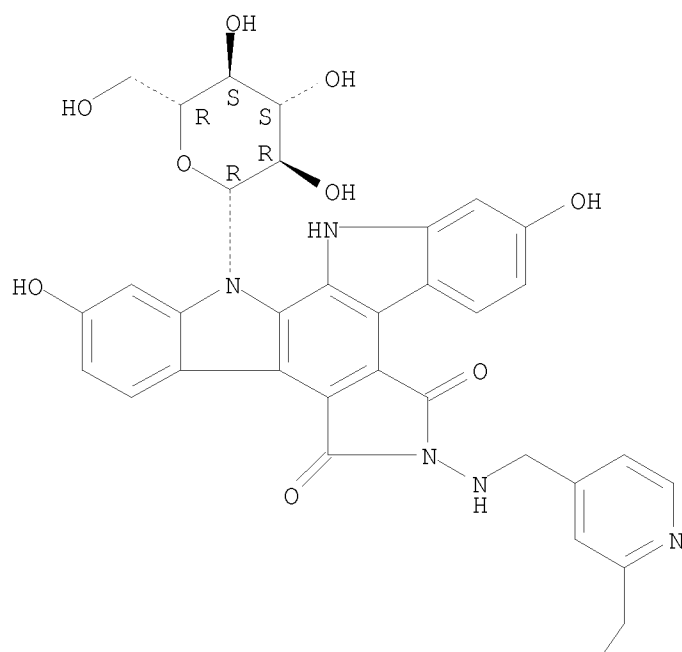
Absolute stereochemistry.



RN 213039-81-7 CAPLUS
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 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[2-(
 (hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

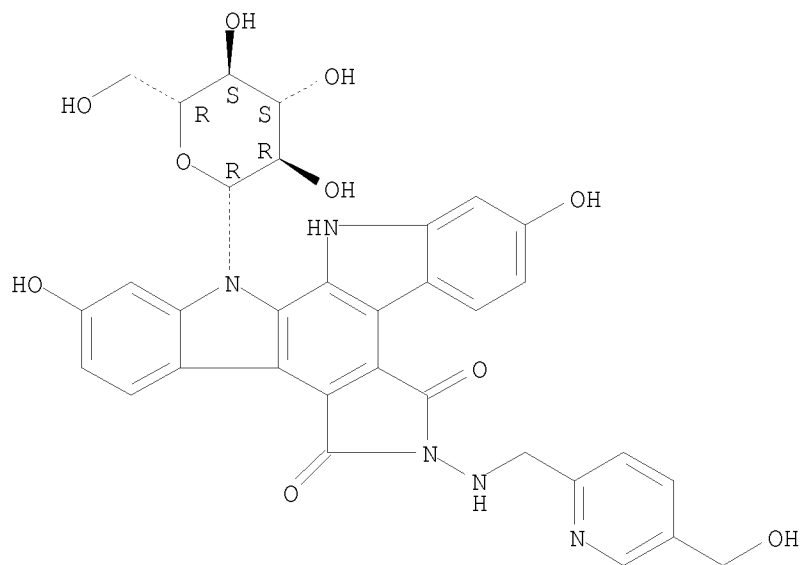


PAGE 2-A



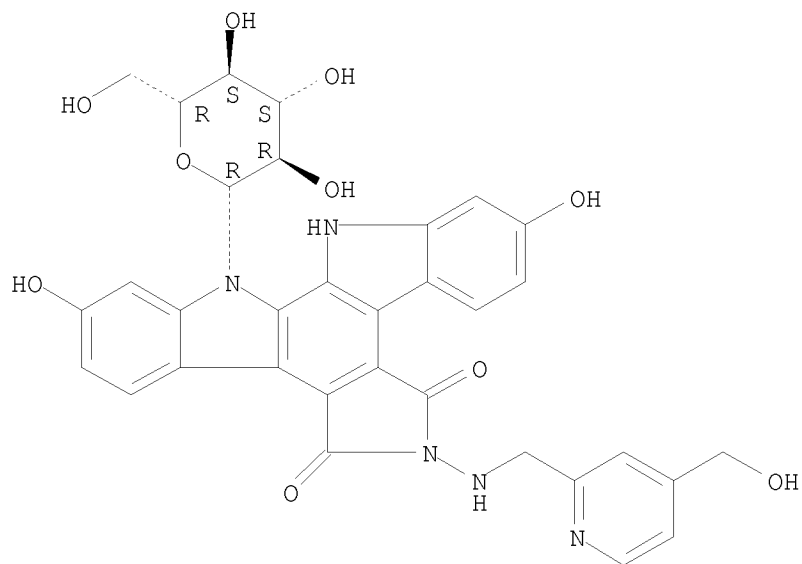
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(hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



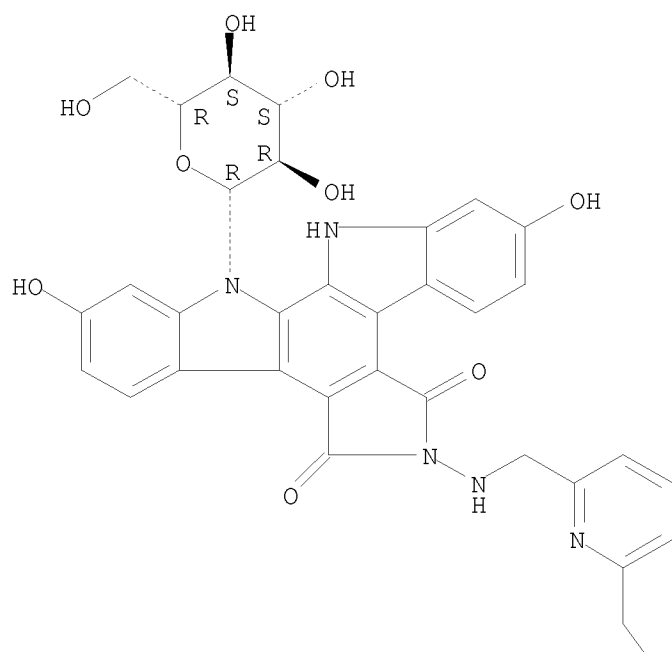
RN 213039-84-0 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
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Absolute stereochemistry.



RN 213039-86-2 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(
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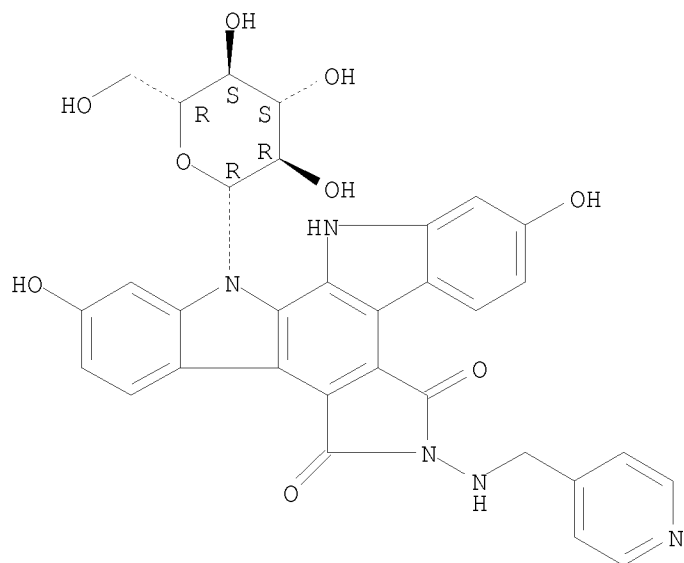
Absolute stereochemistry.



RN 668486-47-3 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[(4-
pyridinylmethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:600014 CAPLUS

DOCUMENT NUMBER: 129:245410

TITLE: Preparation of indolopyrrolo-carbazole derivatives having glucopyranosyl group and antitumor agents containing them

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Koji; Ookubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.

CODEN: JKXXAF

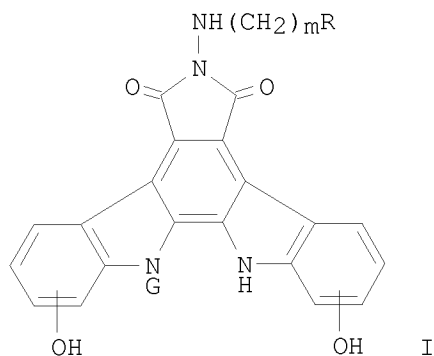
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 10245390	A	19980914	JP 1997-61875	19970228
JP 3536574	B2	20040614		
JP 2004099617	A	20040402	JP 2003-351296	20031009
PRIORITY APPLN. INFO.:			JP 1997-61875	A3 19970228
OTHER SOURCE(S):	MARPAT	129:245410		
GI				



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolo[3,4-c]carbazole ring) or their pharmaceutically acceptable salts are prepared. The antitumor agents contain I or the salts. 2,10-I [(CH₂)_mR = CH₂C₆H₃(OH)_{2-3,5}] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice.

IT 213039-72-6P 213039-73-7P 213039-75-9P
213039-76-0P 213039-77-1P 213039-81-7P
213039-82-8P 213039-84-0P 213039-86-2P

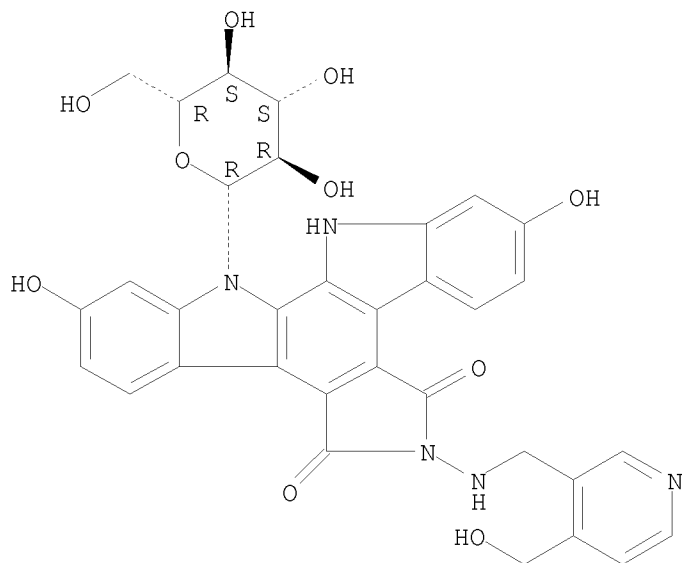
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of glucopyranosylindolopyrrolo[3,4-c]carbazole derivs. as antitumor agents)

RN 213039-72-6 CAPLUS

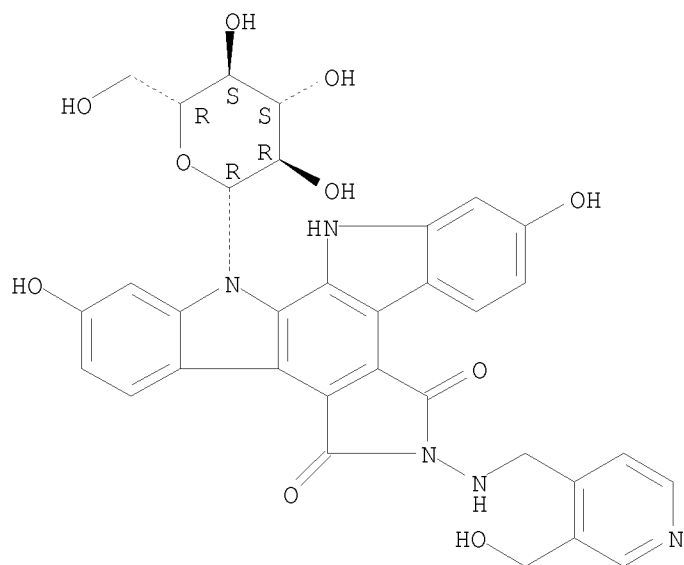
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Absolute stereochemistry.



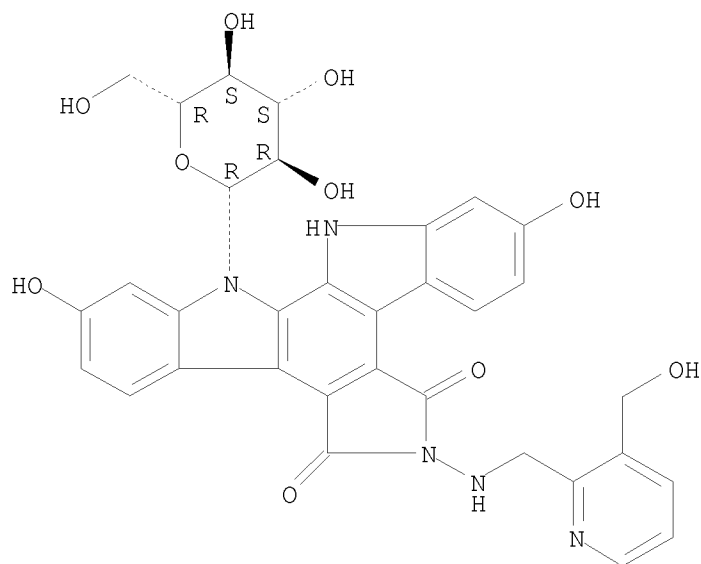
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 12- β -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[3-(hydroxymethyl)-4-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 213039-75-9 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
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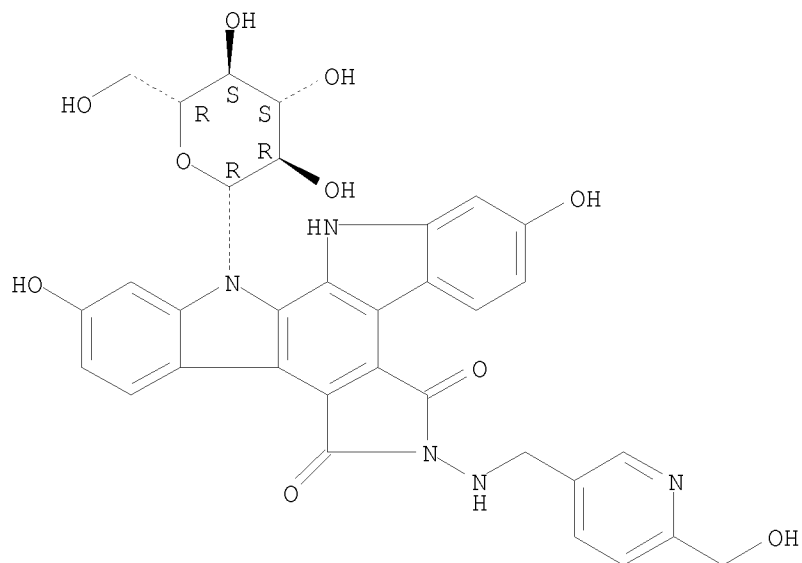
Absolute stereochemistry.



RN 213039-76-0 CAPLUS
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(hydroxymethyl)-3-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

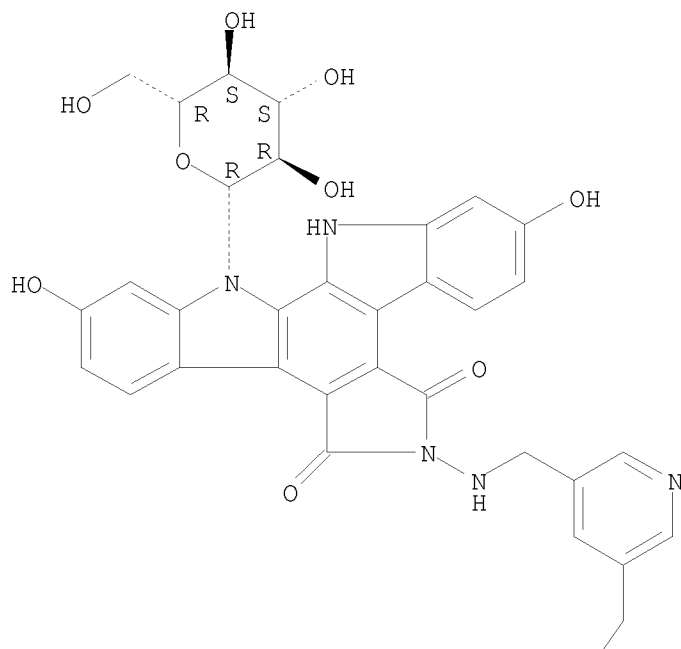


RN 213039-77-1 CAPLUS

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Absolute stereochemistry.

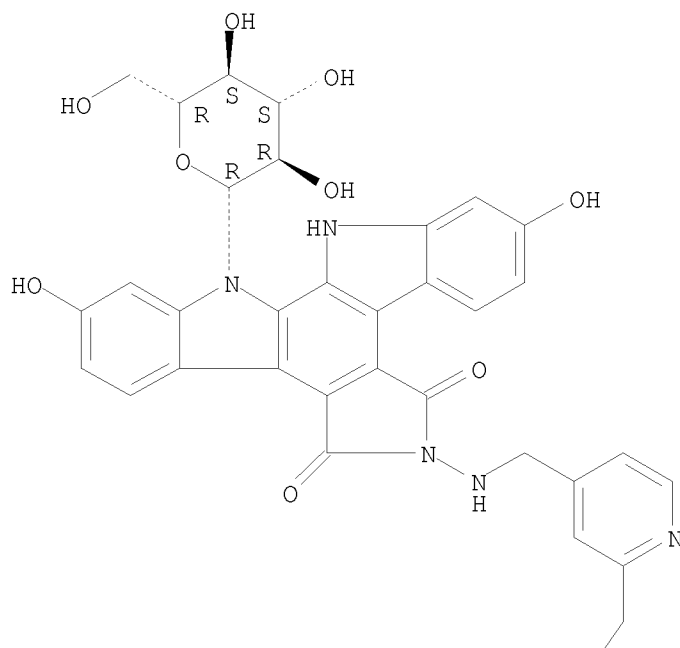
PAGE 1-A



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RN 213039-81-7 CAPLUS
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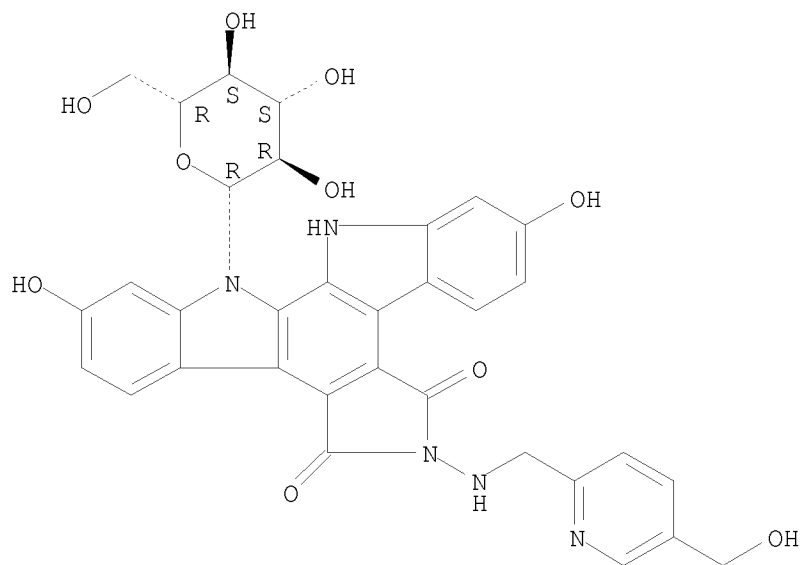
Absolute stereochemistry.



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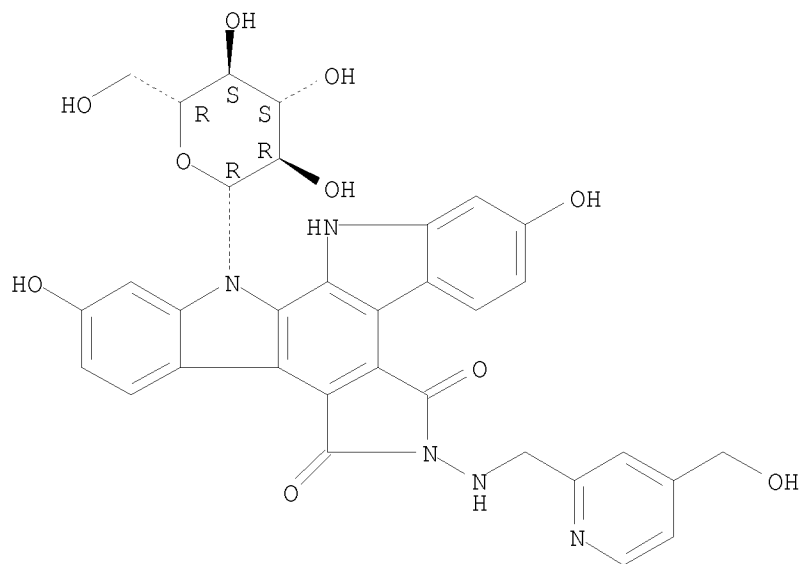
RN 213039-82-8 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
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Absolute stereochemistry.



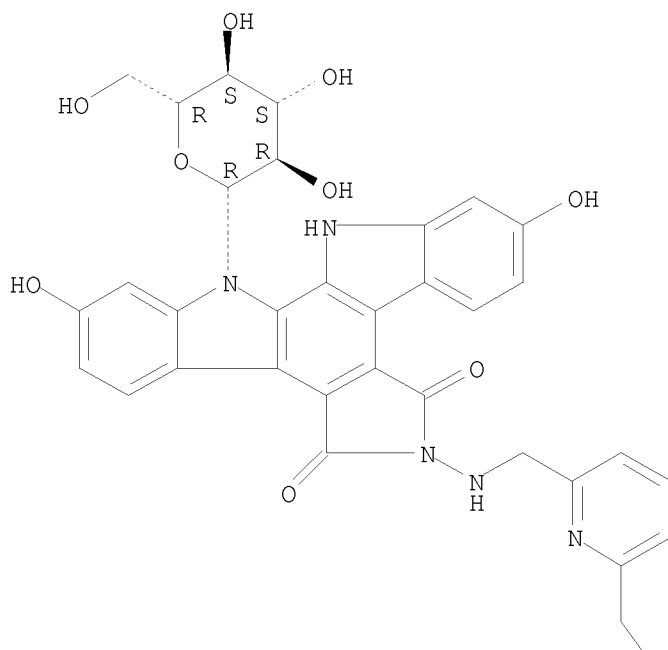
RN 213039-84-0 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[4-(
 hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 213039-86-2 CAPLUS
 CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,
 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[[6-(
 hydroxymethyl)-2-pyridinyl]methyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



=> file stng

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
27.73	206.30

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-4.00	-4.00

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FILE 'STNGUIDE' ENTERED AT 10:25:08 ON 19 MAR 2008

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FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 14, 2008 (20080314/UP).

=> file caplus

COST IN U.S. DOLLARS

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ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-4.00

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FILE 'CAPLUS' ENTERED AT 10:26:09 ON 19 MAR 2008
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FILE COVERS 1907 - 19 Mar 2008 VOL 148 ISS 12
FILE LAST UPDATED: 18 Mar 2008 (20080318/ED)

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<http://www.cas.org/infopolicy.html>

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E2	1	IMAMURA HAZUYOSHI/AU
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E9	1	IMAMURA HIDEMICHI/AU
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=> e sunami satoshi/au

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E7	3	SUNAMI T/AU
E8	1	SUNAMI TAEKO/AU
E9	1	SUNAMI TAIRA/AU
E10	1	SUNAMI TAKAAKI/AU
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E12	9	SUNAMI TAKESHI/AU

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L6 12 "SUNAMI SATOSHI"/AU

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E9      37     HIRANO AYAKO/AU
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(FILE 'HOME' ENTERED AT 10:24:07 ON 19 MAR 2008)

FILE 'REGISTRY' ENTERED AT 10:24:25 ON 19 MAR 2008

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L3      34 S L1 FULL
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FILE 'CAPLUS' ENTERED AT 10:24:49 ON 19 MAR 2008
L4 5 S L3

FILE 'STNGUIDE' ENTERED AT 10:25:08 ON 19 MAR 2008

FILE 'CAPLUS' ENTERED AT 10:26:09 ON 19 MAR 2008
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E IMAMURA HIDEAKI/AU
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E SUNAMI SATOSHI/AU
L6 12 S E3
E HIRANO ATSUSHI/AU
L7 215 S E3
E OHKUBO MITSUSU/AU
L8 89 S E2
E AKAO ATSUSHI/AU
L9 23 S E3

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L10 378 L5 OR L6 OR L7 OR L8 OR L9

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14 INDOLOPYRROLOCARBAZOLES
54 INDOLOPYRROLOCARBAZOLE
(INDOLOPYRROLOCARBAZOLE OR INDOLOPYRROLOCARBAZOLES)
L11 14 L10 AND INDOLOPYRROLOCARBAZOLE

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L11 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:260083 CAPLUS
DOCUMENT NUMBER: 142:336585
TITLE: Preparation of N-glycosylindolopyrrolocarbazole
derivative with antitumor activity
INVENTOR(S): Yamada, Koji; Sunami, Satoshi; Hirose,
Masaaki; Ohkubo, Mitsuru; Arakawa, Hiroharu
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005026185	A1	20050324	WO 2004-JP14661	20040914
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004272457	A1	20050324	AU 2004-272457	20040914

CA 2538434	A1	20050324	CA 2004-2538434	20040914
EP 1666485	A1	20060607	EP 2004-773605	20040914
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CN 1852914	A	20061025	CN 2004-80026590	20040914
US 2007042975	A1	20070222	US 2006-571861	20060314
PRIORITY APPLN. INFO.:			JP 2003-322550	A 20030916
			WO 2004-JP14661	W 20040914
OTHER SOURCE(S):		MARPAT 142:336585		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel indolopyrrolocarbazole derivs. represented by the general formula (I) [wherein A = O, NH, CH₂; R₁ = a single bond, lower alkyl, lower alkenyl, lower alkynyl, Y₁-W (wherein Y₁ = each (un)substituted lower alkyl, lower alkenyl, or 1,3-dioxanyl; W = a single bond, O); R₂ = each (un)substituted Ph, naphthyl, or an aromatic or aliphatic heterocycle which is a 5- or 6-membered ring containing at least one of nitrogen, sulfur, and oxygen; G = a pentose group or hexose group] or pharmaceutically acceptable salts thereof are prepared Thus, 97.1 mg compound (II), 54.3 mg O-(3-tert-butyldimethylsilyloxymethyl-4-pyridylmethyl)hydroxylamine, and 30 μ L Et₃N were dissolve din 4 mL MeOH, refluxed for 3 days, and concentrated under reduced pressure. The residue was dissolved in mixed solvent of 4 mL THF and 3 mL MeOH, treated with 1 mL 1 M Bu₄NF/THF, stirred at room temperature for 1 h, treated with 1 mL M Bu₄NF/THF, stirred at room temperature for 30 min and then refluxed for 30 min, and concentrated under reduced pressure, followed by purification using a Sephadex LH-20 column to give 11 mg compound (III). III showed IC₅₀ of 0.00076 μ M against human colon cancer cell HCT-116.

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:99515 CAPLUS
 DOCUMENT NUMBER: 142:177043
 TITLE: Preparation of glucopyranosyl indolopyrrolocarbazole derivatives as antitumor agents
 INVENTOR(S): Ohkubo, Mitsuru; Arakawa, Hiroharu
 PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
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WO 2005010017	A1	20050203	WO 2003-JP9392	20030724
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AU 2003248103	A1	20050214	AU 2003-248103	20030724
AU 2004259289	A1	20050203	AU 2004-259289	20040721
CA 2533384	A1	20050203	CA 2004-2533384	20040721
WO 2005010020	A1	20050203	WO 2004-JP10742	20040721

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EP 1652854	A1	20060503	EP 2004-771003	20040721
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 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1826347	A	20060830	CN 2004-80021118	20040721
US 2006189800	A1	20060824	US 2006-565326	20060120
IN 2006DN00809	A	20070817	IN 2006-DN809	20060217

PRIORITY APPLN. INFO.:
 JP 2003-9392 A 20030724
 WO 2003-JP309392 A 20030724
 WO 2003-JP9392 A 20030724
 WO 2004-JP10742 W 20040721

OTHER SOURCE(S): CASREACT 142:177043; MARPAT 142:177043
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = unsubstituted pyridyl, furyl, thienyl; m = 1-3; G = β -D-glucopyranosyl; hydroxy substituents on the indolopyrrolocarbazole ring are located in the 1- and 11-positions or the 2- and 10-positions] were prepared For instance, condensation of compound II [X = NH₂] with 4-pyridinecarbaldehyde followed by hydrogenation afforded compound II [X = NHCH₂(4-pyridyl)]. In cell growth inhibition assays against MKN-45 cell, the IC₅₀ value of compound II [X = NHCH₂(4-pyridyl)] was 71 nM. Compds. I are claimed useful for the treatment of lung cancer.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:191117 CAPLUS

DOCUMENT NUMBER: 140:236007

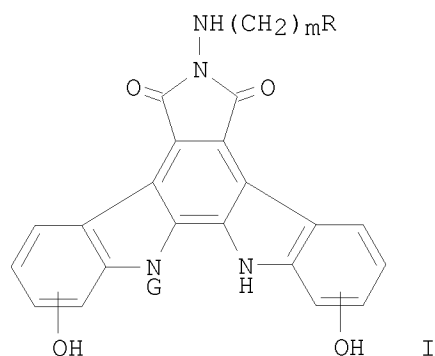
TITLE: Preparation of indolopyrrolocarbazole derivatives having glucopyranosyl group and antitumor agents containing them

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 17 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6703373	B1	20040309	US 2002-70825	20020311
WO 2004083228	A1	20040930	WO 1999-JP4911	19990910
W: US				
PRIORITY APPLN. INFO.:			WO 1999-JP4911	W 19990910
OTHER SOURCE(S):		MARPAT 140:236007		
GI				



AB The derivs. I (R = Ph, naphthyl, pyridyl, furyl, thienyl, which is substituted with 1-2 OH, lower alkoxy, lower hydroxyalkyl, or lower hydroxyalkenyl; if R has a lower alkoxy, then R is also has the other substituent; m = 1-3; G = β -D-glucopyranosyl; 2 OH groups are on the 1- and 11- or 2- and 10-positions of the indolopyrrolocarbazole ring) or their pharmaceutically acceptable salts are prepared The antitumor agents contain I or the salts. 2,10-I [(CH₂)mR = CH₂C₆H₃(OH)_{2-3,5}] (preparation given) inhibited growth of human gastric cancer MX-1 cells s.c. transplanted into nude mice. The cancer treated is gastric cancer, colon cancer, lung cancer or breast cancer. Growth inhibition activity on human gastric cancer cells, human colon cancer cells and human lung cancer cells.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:182898 CAPLUS

DOCUMENT NUMBER: 140:217950

TITLE: Process for producing indolopyrrolocarbazole derivative

INVENTOR(S): Akao, Atsushi; Kawasaki, Masashi; Kamatani, Asayuki; Mase, Toshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

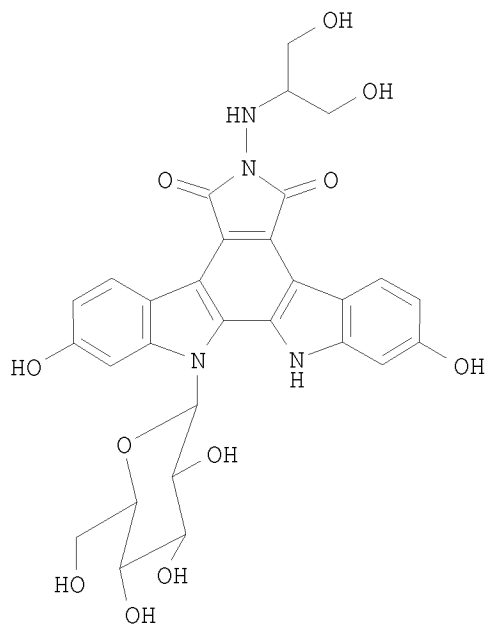
SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018495	A1	20040304	WO 2003-JP10672	20030822
W: AE, AG, AL, AM, AU, AZ, BA, BB, BR, BY, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GE, HR, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RU, SC, SG, SY, TJ, TM, TN, TT, UA, US, UZ, VC, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004099608	A	20040402	JP 2003-296987	20030821
JP 3552714	B2	20040811		
CA 2496479	A1	20040304	CA 2003-2496479	20030822
AU 2003261708	A1	20040311	AU 2003-261708	20030822
EP 1541582	A1	20050615	EP 2003-792815	20030822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1678622	A	20051005	CN 2003-820026	20030822
CN 1923365	A	20070307	CN 2006-10138804	20030822
JP 2004107357	A	20040408	JP 2003-423786	20031219
MX 2005PA01967	A	20050622	MX 2005-PA1967	20050218
ZA 2005001601	A	20060531	ZA 2005-1601	20050223
IN 2005KN00249	A	20060811	IN 2005-KN249	20050223
US 2005176968	A1	20050811	US 2005-524274	20050425
IN 2007KN03054	A	20071207	IN 2007-KN3054	20070820
PRIORITY APPLN. INFO.:			JP 2002-244173	A 20020823
			JP 2003-296987	A3 20030821
			CN 2003-820026	A3 20030822
			WO 2003-JP10672	W 20030822
			IN 2005-KN249	A3 20050223
OTHER SOURCE(S):			MARPAT 140:217950	
GI				



I

AB This document discloses a multistep process for preparing anticancer indolopyrrololocarbazole derivative I from benzyloxypyrrolidinylvinylnitrobenzene. One of the key steps in this process is the hydrogenation of 3-benzyloxy-6-(2-pyrrolidinylvinyl)nitrobenzene in the presence of Rh/C and Fe(OAc)₂ under hydrogen to give 6-benzyloxyindole in 91% yield.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:636082 CAPLUS

DOCUMENT NUMBER: 135:211231

TITLE: Process for preparing indolopyrrololocarbazole derivatives, intermediates therefor, and preparation process of the intermediates

INVENTOR(S): Hiraga, Shouichi; Kawasaki, Masashi; Akao, Atsushi; Kamatani, Asayuki; Hagiwara, Masayuki; Nakano, Fumio; Mase, Toshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

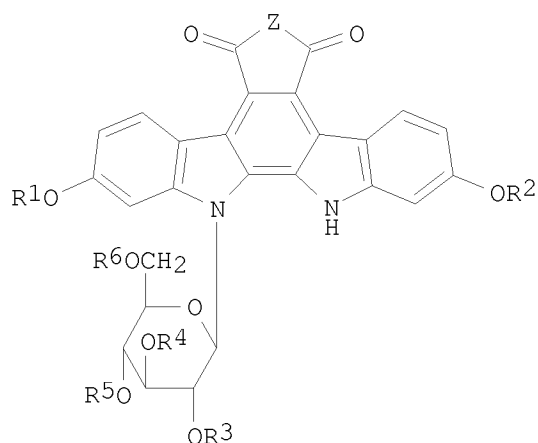
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062769	A1	20010830	WO 2001-JP1289	20010222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				

	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2399209	A1	20010830	CA 2001-2399209	20010222
AU 2001034119	A	20010903	AU 2001-34119	20010222
EP 1258490	A1	20021120	EP 2001-906200	20010222
EP 1258490	B1	20031126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3388489	B2	20030324	JP 2001-562551	20010222
AT 255122	T	20031215	AT 2001-906200	20010222
PT 1258490	T	20040430	PT 2001-906200	20010222
ES 2210127	T3	20040701	ES 2001-906200	20010222
US 2003060621	A1	20030327	US 2002-203088	20020806
US 6790836	B2	20040914		
PRIORITY APPLN. INFO.:			JP 2000-48140	A 20000224
			WO 2001-JP1289	W 20010222
OTHER SOURCE(S):			CASREACT 135:211231; MARPAT 135:211231	
GI				



I

AB Described are a process for preparing indolopyrrolocarbazole glucoside derivs. [I; Z = N-NHCH(CH₂OH)CH₂OH; R₁-R₆ = H] by treating a compound I [Z = N-Y₁; R₁-R₆ are each independently a hydroxyl-protecting group; Y₁ = hydrogen, C₁-4 alkyl, Ph, benzyloxymethyl, aralkyl] (II) with a base in an inert solvent to prepare a compound I (Z = O; R₁-R₆ are each independently a hydroxyl-protecting group) (III), reacting III with a compound of formula H₂NNHCH(CH₂OR₇)CH₂OR₈.X [IV; X = an acid mol.; R₇ and R₈ are each independently hydrogen or a hydroxyl-protecting group] to prepare a compound I [Z = NNHCH(CH₂OR₇)CH₂OR₈; R₁-R₆ are each independently a hydroxyl-protecting group; R₇, R₈ = same as above] (V), and deblocking the compound V; intermediates III, IV, and V; and a process for preparing compds. IV. The intermediates such as I [Z = O, N-NHCH(CH₂OH)CH₂OH; R₁-R₆ = H] exhibited low topoisoemerase I-inhibitory activity (IC₅₀ of >1,000 μM) which eliminates the danger of exposing workers to highly active compds. and thus the need for using a specialized isolation apparatus The above process is a safe and easy industrial process for preparing indolopyrrolocarbazole derivs. I [Z = N-NHCH(CH₂OH)CH₂OH; R₁-R₆ = H] useful as antitumor agents (no data). Thus, 670 mg I (Z = NMe, R₁-R₆ = CH₂Ph) was stirred in 36 mL ethanol at room temperature for 1 h, treated dropwise with 8 mL 5 N aqueous NaOH over a period of 20 min at room temperature,

stirred at 60° for 4 h and then at room temperature overnight, treated with 20 mL toluene and dropwise with 1.0 n aqueous HCl over a period of 3 min to make pH 2.6, treated with 10 mL THF, and stirred at room temperature for 6 h to give 85% I (Z = O, R1-R6 = CH2Ph). To the latter compound and 15 mL N,N-dimethylacetamide were added 0.23 g N-(1-hydroxymethyl-2-hydroxyethyl)hydrazine hemioxalate (preparation given) and Et3N and the resulting mixture was stirred at 60° for 1.5 h to give 92% I [Z = N-NHCH(CH2OH)CH2OH, R1-R6 = CH2Ph] which (500 mg) was dissolved in 10 mL MeOH/THF (50/50), treated with 100 mg 10% Pd-C and 100 µL 1 n aqueous HCl, and hydrogenated under hydrogen pressure of 29.4 Pa at 40° for 3 h to give 59% I [Z = N-NHCH(CH2OH)CH2OH, R1-R6 = H].

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:590732 CAPLUS

DOCUMENT NUMBER: 129:225719

TITLE: Antitumor indolopyrrolocarbazole derivatives

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: U.S., 25 pp., Cont.-in-part of U.S. 5,591,842.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804564	A	19980908	US 1996-737382	19961108
PL 172609	B1	19971031	PL 1992-316369	19921127
US 5591842	A	19970107	US 1994-255980	19940608
CA 2190007	A1	19951116	CA 1995-2190007	19950502
CA 2190007	C	20030415		
CA 2413037	A1	19951116	CA 1995-2413037	19950502
CA 2413037	C	20070626		
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1153518	A	19970702	CN 1995-193830	19950502
CN 1106400	B	20030423		
EP 1264836	A1	20021211	EP 2002-18235	19950502
EP 1264836	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PT 760375	T	20040430	PT 1995-917506	19950502
ES 2206501	T3	20040516	ES 1995-917506	19950502
CN 1513865	A	20040721	CN 2002-2002146948	19950502
AT 283863	T	20041215	AT 2002-18235	19950502
PT 1264836	T	20050228	PT 2002-18235	19950502
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5922860	A	19990713	US 1998-3602	19980107
HK 1067948	A1	20070713	HK 2005-100209	20050211
PRIORITY APPLN. INFO.:				
			JP 1994-119483	A 19940509
			JP 1994-145648	A 19940603
			US 1994-255980	A2 19940608
			WO 1995-JP868	W 19950502
			JP 1991-341916	A 19911129
			JP 1992-69269	A 19920218
			JP 1992-257306	A 19920901

US 1992-981070	A2 19921124
WO 1992-JP1549	W 19921127
US 1993-68097	B2 19930528
US 1993-166364	A2 19931214
CA 1995-2190007	A3 19950502
EP 1995-917506	A3 19950502

OTHER SOURCE(S): MARPAT 129:225719
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Indolopyrrolocarbazole derivs. I and II were prepared and their antitumor activity studied.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:293884 CAPLUS

DOCUMENT NUMBER: 126:264313

TITLE: Preparation of N-glycosylindolopyrrolocarbazole derivatives as antitumor agents

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

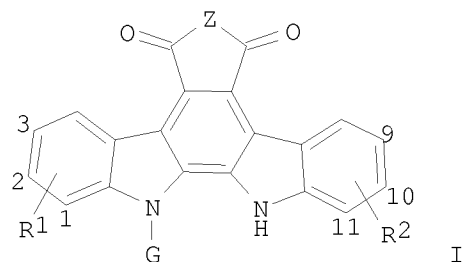
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709339	A1	19970313	WO 1996-JP2404	19960828
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9668366	A	19970327	AU 1996-68366	19960828
PRIORITY APPLN. INFO.:			JP 1995-251855	A 19950905
			WO 1996-JP2404	W 19960828

OTHER SOURCE(S): MARPAT 126:264313
GI



AB Nucleoside analogs represented by general formula [I; Z = NNHR; wherein R = C2-4 alkyl having 1 to 3 hydroxyl group; R1, R2 = H or OH; G = pentose or hexose, provided that R1 and R2 do not represent H at the same time, and excluding the case where R1 is OH at the 1-position and R2 is OH at the 11-position when R is CH(CH2OH)2, and another case where R1 is OH at the 2-position and R2 is OH at the 10-position when R is CH(CH2OH)2], which have an excellent antitumor effect, are prepared Thus, a dicarboxylic acid anhydride I (Z = O, R1 = 2-MeO, R2 = 10-MeO) (preparation given) was stirred with 2-hydroxyethylhydrazine in DMF at 80° for 1.5 h to give I (Z = NHCH2CH2OH, R1 = 2-MeO, R2 = 10-MeO), which at 16 mg/kg total in vivo inhibited 75% the proliferation of human stomach cancer MKN-45 cells in nude mice.

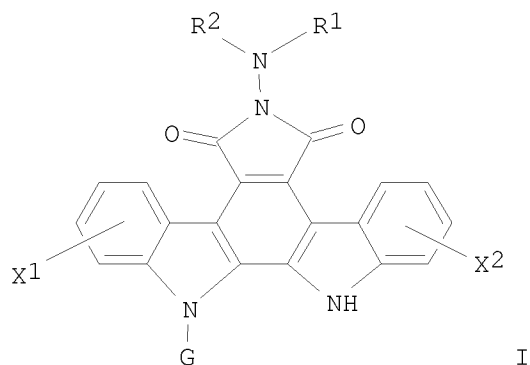
L11 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:49293 CAPLUS
DOCUMENT NUMBER: 126:157762
TITLE: Preparation of indolopyrrolocarbazole
nucleoside analogs as antitumors
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu;
Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 40 pp., Cont.-in-part of U.S. Ser. No.
5,437,996.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5591842	A	19970107	US 1994-255980	19940608
PL 171468	B1	19970530	PL 1992-304729	19921127
PL 172316	B1	19970930	PL 1992-316368	19921127
PL 172609	B1	19971031	PL 1992-316369	19921127
RO 113469	B1	19980730	RO 1993-1067	19921127
CZ 287304	B6	20001011	CZ 1992-3508	19921127
CN 1073948	A	19930707	CN 1992-114888	19921128
CN 1030987	B	19960214		
ZA 9209263	A	19930525	ZA 1992-9263	19921209
CN 1075482	A	19930825	CN 1993-100326	19930102
CN 1035878	B	19970917		
US 5437996	A	19950801	US 1993-166364	19931214
US 5589365	A	19961231	US 1995-381286	19950131
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5668271	A	19970916	US 1995-474659	19950607
US 5804564	A	19980908	US 1996-737382	19961108
PRIORITY APPLN. INFO.:			JP 1991-341916	A 19911129
			JP 1992-69269	A 19920218
			JP 1992-257306	A 19920901
			US 1992-981070	A2 19921124
			US 1993-68097	B2 19930528
			US 1993-166364	A2 19931214
			CS 1992-3508	A 19921127
			WO 1992-JP1549	W 19921127
			JP 1992-353623	A 19921214
			JP 1993-53035	A 19930218
			JP 1994-119483	A 19940509

JP 1994-145648 A 19940603
US 1994-255980 A2 19940608
WO 1995-JP868 W 19950502

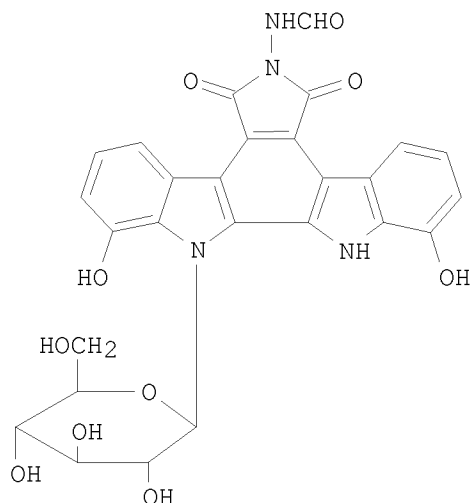
OTHER SOURCE(S) : MARPAT 126:157762
GI



AB Indolopyrrocarbazole nucleoside analogs I (R1, R2 = H, alkyl, alkenyl, arom hydrocarbon, heterocycle; aminoalkyl; G = sugar; X1, X2 = H, halogen, NH2, alkoxy, alkylamino, OH) were prepared and showed excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell. Thus, I (R1 = H, R2 = CHO; G = β -D-glucopyranosyl; X1 = X2 = OH) was prepared and tested as antitumor (dosage of 0.3-100 mg/kg/day; MST = 16.8-52.4).

L11 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:14323 CAPLUS
DOCUMENT NUMBER: 126:144473
TITLE: Synthesis of NB-506, a new anticancer agent
AUTHOR(S): Ohkubo, Mitsuru; Kawamoto, Hiroshi; Ohno, Toshiyuki; Nakano, Masato; Morishima, Hajime
CORPORATE SOURCE: Banyu Tsukuba Research Institute, Tsukuba, 300-33, Japan
SOURCE: Tetrahedron (1997), 53(2), 585-592
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB 6-N-Formylamino-12,13-dihydro-1,11-dihydroxy-13-(β -D-glucopyranosyl)-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione (NB-506, I), a derivative of the naturally occurring antitumor compound, BE-13793C, is a new indolopyrrolocarbazole anticancer agent which potently inhibits topoisomerase I. The synthesis of NB-506 was accomplished starting from 2,3-dibromo-N-methylmaleimide and 7-benzyloxyindole. The key step, a glycosylation of indolocarbazole, was precisely studied to develop a practical synthesis method using KOH as a base.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:376438 CAPLUS

DOCUMENT NUMBER: 125:114919

TITLE: Practical synthesis of indolopyrrolocarbazoles

AUTHOR(S): Ohkubo, Mitsuru; Nishimura, Teruyuki; Jona, Hideki; Honma, Teruki; Morishima, Hajime

CORPORATE SOURCE: Banyu Tsukuba Research Institute in collaboration with Merck Research Laboratories, Tsukuba, 300-33, Japan

SOURCE: Tetrahedron (1996), 52(24), 8099-8112

CODEN: TETRAB; ISSN: 0040-4020

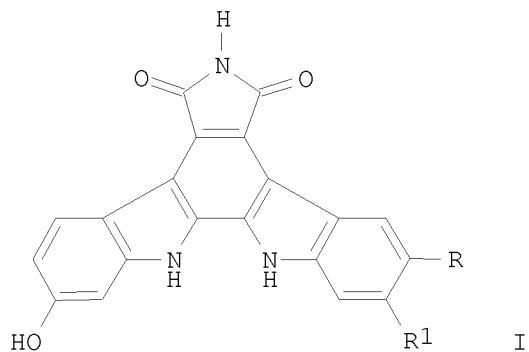
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 125:114919

GI



AB A practical method for the synthesis of the indolo[2,3-a]pyrrolo[3,4-c]carbazole ring system was described. The method involved two key processes: a coupling reaction between indole and substituted methylmaleimide portions using lithium hexamethyldisilazide (LiHMDS) as a base, and the oxidative cyclization of bisindolylmaleimide with palladium (II) chloride. This method was applied to the synthesis of arcyriflavins B, C and D I (R = R1 = H; R = H, R1 = OH; R = OH, R1 = H, resp.).

L11 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:340593 CAPLUS

DOCUMENT NUMBER: 125:34036

TITLE: Preparation of antitumor
indolopyrrolo[3,4-c]carbazole glycosides

INVENTOR(S): Kojiri, Katsuhisa; Shimokawa, Haruki; Ohkubo, Mitsuru; Kawamura, Kenji; Kondo, Hisao; Arakawa, Hiroharu; Suda, Hiroyuki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

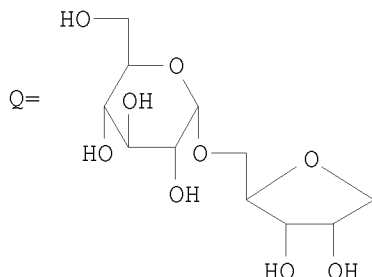
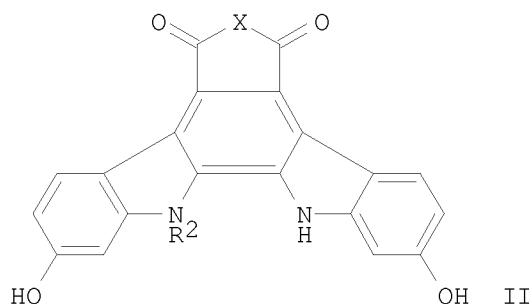
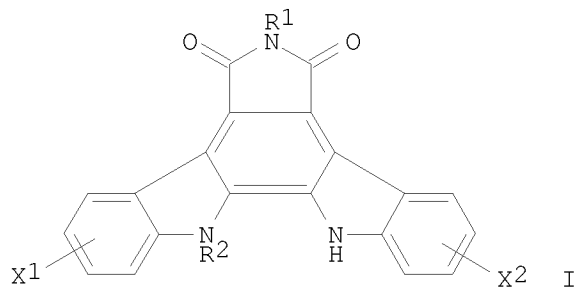
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9604293	A1	19960215	WO 1995-JP1490	19950726
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9530864	A	19960304	AU 1995-30864	19950726
PRIORITY APPLN. INFO.:			JP 1994-200110	A 19940802
			WO 1995-JP1490	W 19950726

OTHER SOURCE(S): MARPAT 125:34036

GI



AB Compds. represented by general formula [I; X1, X2 = H, halo, NH₂, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, CO₂H, lower alkoxycarbonyl, lower alkanoyloxy, or lower alkyl which may be substituted by one or two HO groups; R1 = H, NH₂, formylamino, lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl or lower alkyl [wherein the lower alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, lower alkoxy, aralkoxy, aralkyl, lower alkylcarbonyl, arylcarbonyl and lower alkyl may be substituted by one to five groups selected from among CO₂H, CONH₂, SO₃H, NH₂, cyano, mono(lower alkyl)amino, di(lower alkyl)amino, HO, heterocyclic which may be substituted by one to three HO groups or by lower alkyl which may be substituted by one to three hydroxy groups, and halogen atoms]; R2 = disaccharide group] or pharmaceutically acceptable salts thereof are prepared by microbial glycosidation with *Saccharothrix aerocolonigenes* or chemical modification. Thus, glycosidation of 2,1-dibenzoyloxy-6-methylindolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7-dione with chloro-5-O-(2,3,4,6-tetra-O-benzyl-α-D-glucopyranosyl)-2,3-O-isopropylidene-α-D-ribofuranose in the presence of KOH and MgSO₄ in MeCN at room temperature for 4 h followed by hydrogenolysis over Pd-C in CHCl₃-MeOH under H atmospheric and treatment with a mixture of THF and 10% HCl/MeOH gave the intermediate (II; X = NMe, R2 = Q), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give the indolo[2,3-a]furano[3,4-c]carbazole II (X = O, R2 = Q) and then stirred with 2-hydrazino-1,3-propanediol in DMSO at room temperature for 3 h to give the title compound II [X = NNHCH(CH₂OH)₂, R2 = Q]. II [X = NNHCH(CH₂OH)₂, R2 = Q1] showed IC₅₀ of 0.002, 0.036, 0.073, and 0.044 μM for inhibiting the proliferation of mouse leukemia P388, mouse colon cancer colon 26, human lung cancer PC-13, and human stomach cancer MKN-45 cells, resp.

indolopyrrolocarbazole derivatives as
antitumor agents

INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu;
Ohkubo, Mitsuru; Suda, Hiroyuki
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PL 172609	B1	19971031	PL 1992-316369	19921127
US 5591842	A	19970107	US 1994-255980	19940608
CA 2190007	A1	19951116	CA 1995-2190007	19950502
CA 2190007	C	20030415		
CA 2413037	A1	19951116	CA 1995-2413037	19950502
CA 2413037	C	20070626		
AU 9523535	A	19951129	AU 1995-23535	19950502
AU 683749	B2	19971120		
EP 760375	A1	19970305	EP 1995-917506	19950502
EP 760375	B1	20031126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1153518	A	19970702	CN 1995-193830	19950502
CN 1106400	B	20030423		
JP 3038921	B2	20000508	JP 1995-528838	19950502
EP 1264836	A1	20021211	EP 2002-18235	19950502
EP 1264836	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 255121	T	20031215	AT 1995-917506	19950502
PT 760375	T	20040430	PT 1995-917506	19950502
ES 2206501	T3	20040516	ES 1995-917506	19950502
CN 1513865	A	20040721	CN 2002-2002146948	19950502
AT 283863	T	20041215	AT 2002-18235	19950502
PT 1264836	T	20050228	PT 2002-18235	19950502
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5804564	A	19980908	US 1996-737382	19961108
HK 1000890	A1	20040109	HK 1997-102485	19971217
US 5922860	A	19990713	US 1998-3602	19980107
HK 1067948	A1	20070713	HK 2005-100209	20050211
PRIORITY APPLN. INFO.:			JP 1994-119483	A 19940509
			JP 1994-145648	A 19940603
			US 1994-255980	A2 19940608
			JP 1991-341916	A 19911129
			JP 1992-69269	A 19920218
			JP 1992-257306	A 19920901
			US 1992-981070	A2 19921124
			WO 1992-JP1549	W 19921127
			US 1993-68097	B2 19930528
			US 1993-166364	A2 19931214
			CA 1995-2190007	A3 19950502
			EP 1995-917506	A3 19950502
			WO 1995-JP868	W 19950502
OTHER SOURCE(S):		CASREACT 124:202948; MARPAT 124:202948		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds., β -D-glucopyranosyl-12,13-dihydro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione derivs., [I; R1, R2 = OH, wherein R1 is present at the 1- or 2-position and R2 is present at the 10- or 11-position, provided when R1 is present at the 1-position, R2 is present at the 11-position, while when R1 is present at the 2-position, R2 is present at the 10-position] or pharmaceutically acceptable salts thereof are prepared Thus, 284 g 6-benzyloxyindole was treated with 2.7 L 1 M lithium hexamethyldisilazide in THF at -10° , stirred for 45 min, treated dropwise with a solution of 2,3-dibromo-N-methylmaleimide over 1 h, and stirred at 0° for 15 min to give an indolylmaleimide derivative (II; R = H, R3 = Br) (93%), which was acylated by di-tert-Bu dicarbonate in the presence of 4-dimethylaminopyridine in THF to give II (R = Boc, R3 = Br) (96%). The latter compound was similarly condensed with 6-benzyloxyindole in the presence of lithium hexamethyldisilazide in THF to give the bis(indolyl)maleimide II (R = Boc, R3 = Q, wherein R4 = H) (62%), which was stirred with 2,3,4,6-tetra-O-benzyl-D-glucose, Ph3P, and di-Et azodicarboxylate in THF to give the glucoside II (R = Q1, R3 = Q, wherein R4 = Boc) (62%), followed by treatment with 40% MeNH2 in MeOH at room temperature for 30 min to give II (R = Q1, R3 = Q, wherein R4 = H) (96%). This compound was cyclized by stirring with CuCl2 and mol. sieve in MeCOEt at room temperature for 2 h to give the β -(D-glucopyranosyl) indolopyrrolocarbazole derivative (III; X = NMe, R6 = CH2Ph), which was hydrogenolyzed over Pd black in CHCl3/MeOH under H atmospheric to give III (X = NMe, R6 = H) (88%), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give III (X = O, R6 = H) (100%) and then condensed with 2-hydrazino-1,3-propanediol in DMF at 80° for 1 h to give, after purification using Sephadex LH 20, the title compound III [X = NHCH(CH2OH)2, R6 = H] (77%). This compound in vitro inhibited the growth of cancer cells P388, MKN-45, PC-13, and DLD-1 at 0.0020, 0.011, 0.035, and 0.10 μ M, resp. It at a total dosage of 3.0 mg/kg during 20 or 32 days depending on the treatment schedule inhibited 75% the growth of human stomach cancer MKN-45 transplanted in nude mice.

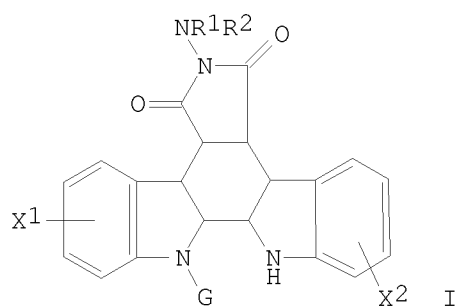
L11 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:671636 CAPLUS
DOCUMENT NUMBER: 119:271636
TITLE: Preparation of indolopyrrolocarbazole
nucleosides as neoplasm inhibitors
INVENTOR(S): Katsuhisa, Kojiri; Hisao, Kondo; Hiroharu, Arakawa;
Ohkubo, Mitsuru; Hiroyuki, Suda
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 545195	A1	19930609	EP 1992-119904	19921123
EP 545195	B1	19951122		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

CA 2083534	A1	19930530	CA 1992-2083534	19921123
CA 2083534	C	20030128		
AT 130617	T	19951215	AT 1992-119904	19921123
ES 2079774	T3	19960116	ES 1992-119904	19921123
IL 103844	A	19970930	IL 1992-103844	19921123
JP 06128283	A	19940510	JP 1992-336560	19921124
JP 2629542	B2	19970709		
AU 9229637	A	19930603	AU 1992-29637	19921126
AU 650376	B2	19940616		
NO 9204593	A	19930601	NO 1992-4593	19921127
NO 178929	B	19960325		
NO 178929	C	19960703		
WO 9311145	A1	19930610	WO 1992-JP1549	19921127
W: BG, BR, PL, RO, RU				
HU 65699	A2	19940728	HU 1992-3754	19921127
HU 217611	B	20000328		
PL 171468	B1	19970530	PL 1992-304729	19921127
PL 172316	B1	19970930	PL 1992-316368	19921127
PL 172609	B1	19971031	PL 1992-316369	19921127
RO 113469	B1	19980730	RO 1993-1067	19921127
RU 2117671	C1	19980820	RU 1993-50130	19921127
CZ 287304	B6	20001011	CZ 1992-3508	19921127
FI 106864	B1	20010430	FI 1992-5422	19921127
CN 1073948	A	19930707	CN 1992-114888	19921128
CN 1030987	B	19960214		
ZA 9209263	A	19930525	ZA 1992-9263	19921209
CN 1075482	A	19930825	CN 1993-100326	19930102
CN 1035878	B	19970917		
US 5589365	A	19961231	US 1995-381286	19950131
PRIORITY APPLN. INFO.:				
			JP 1991-341916	A 19911129
			JP 1992-69269	A 19920218
			JP 1992-257306	A 19920901
			US 1992-981070	A2 19921124
			CS 1992-3508	A 19921127
			WO 1992-JP1549	W 19921127
			JP 1992-353623	A 19921214
			JP 1993-53035	A 19930218
			US 1993-68097	B1 19930528
OTHER SOURCE(S):		MARPAT 119:271636		
GI				



AB Title nucleosides I (R1R2 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, carboxyl, (un)substituted heterocycle or alkylidene; G = pentose, hexose;

X1X2 = H, halo, alkyl, alkylamino, OH, alkoxy, aralkoxy, carboxyl, alkoxy-carbonyl), were prepared as neoplasm inhibitors. Thus, compds. I (R1R2 = H, CHO; CHCO2H; X1 = X2 = OH; G = β -D-glucopyranosyl) were prepared and showed a proliferation inhibition activity ED50 of 0.29 μ M against mouse leukemia cell P388.

L11 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:81274 CAPLUS

DOCUMENT NUMBER: 118:81274

TITLE: A new indolopyrrolo-carbazole antitumor substance, ED-110, a derivative of BE-13793C

AUTHOR(S): Tanaka, Seichi; Ohkubo, Mitsuru; Kojiri, Katsuhisa; Suda, Hiroyuki; Yamada, Akihiro; Uemura, Daisuke

CORPORATE SOURCE: Tsukuba Res. Inst., Banyu Pharm. Co., Ltd., Tsukuba, 300-33, Japan

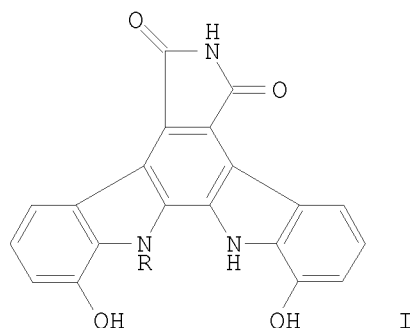
SOURCE: Journal of Antibiotics (1992), 45(11), 1797-8

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB ED-110 (I; R = β -D-glucopyranosyl) was prepared from BE-13793C (I; R = H) by benzylation, benzyloxymethylation, glycosidation, and deprotection. The in vivo and in vitro antitumor activities of ED-110 are also reported.

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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FILE 'REGISTRY' ENTERED AT 10:24:25 ON 19 MAR 2008

L1 STRUCTURE UPLOADED

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L3 34 S L1 FULL

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L4 5 S L3

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FILE 'CAPLUS' ENTERED AT 10:26:09 ON 19 MAR 2008

E RYUGASAKI HIDEAKI IMAMURA/AU

E IMAMURA HIDEAKI/AU

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E SUNAMI SATOSHI/AU

L6 12 S E3

E HIRANO ATSUSHI/AU

L7 215 S E3

E OHKUBO MITSUSU/AU

L8 89 S E2

E AKAO ATSUSHI/AU

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L10 378 S L5 OR L6 OR L7 OR L8 OR L9

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